STNa

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1612bxr

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	NOV 21	CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-, and Japanese-language basic patents from 2004-present
NEWS 3	NOV 26	MARPAT enhanced with FSORT command
NEWS 4	NOV 26	CHEMSAFE now available on STN Easy
NEWS 5	NOV 26	Two new SET commands increase convenience of STN searching
NEWS 6	DEC 01	ChemPort single article sales feature unavailable
NEWS 7	DEC 12	GBFULL now offers single source for full-text coverage of complete UK patent families
NEWS 8	DEC 17	Fifty-one pharmaceutical ingredients added to PS
NEWS 9	JAN 06	The retention policy for unread STNmail messages will change in 2009 for STN-Columbus and STN-Tokyo
NEWS 10	JAN 07	WPIDS, WPINDEX, and WPIX enhanced Japanese Patent Classification Data
NEWS 11	FEB 02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS 12	FEB 02	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 13	FEB 06	Patent sequence location (PSL) data added to USGENE
NEWS 14	FEB 10	COMPENDEX reloaded and enhanced
NEWS 15	FEB 11	WTEXTILES reloaded and enhanced
NEWS 16	FEB 19	New patent-examiner citations in 300,000 CA/CAplus patent records provide insights into related prior art
NEWS 17	FEB 19	Increase the precision of your patent queries -- use terms from the IPC Thesaurus, Version 2009.01
NEWS 18	FEB 23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS 19	FEB 23	MEDLINE now offers more precise author group fields and 2009 MeSH terms
NEWS 20	FEB 23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
NEWS 21	FEB 23	Three million new patent records blast AEROSPACE into STN patent clusters

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability

Updated Search

STNa

```
 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
specific topic.

  All use of STN is subject to the provisions of the STN Customer
  agreement.  Please note that this agreement limits use to scientific
  research.  Use for software development or design or implementation
  of commercial gateways or other similar uses is prohibited and may
  result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 17:13:06 ON 23 FEB 2009

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.22       0.22

FILE 'REGISTRY' ENTERED AT 17:13:53 ON 23 FEB 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2009 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   22 FEB 2009  HIGHEST RN 1110296-20-2
DICTIONARY FILE UPDATES:  22 FEB 2009  HIGHEST RN 1110296-20-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\7294a.str

L1      STRUCTURE UPLOADED

=> s l1
SAMPLE SEARCH INITIATED 17:16:36 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    60363 TO ITERATE

  3.3% PROCESSED     2000 ITERATIONS                          50 ANSWERS
```

Updated Search

STNa

```
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE   **INCOMPLETE**
                        BATCH    **COMPLETE**
PROJECTED ITERATIONS:      1192604 TO  1221916
PROJECTED ANSWERS:           78841 TO    86553

L2           50 SEA SSS SAM L1

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\taatay.str

L3      STRUCTURE UPLOADED

=> s l3
SAMPLE SEARCH INITIATED 17:20:28 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    46501 TO ITERATE

  4.3% PROCESSED     2000 ITERATIONS                                 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE   **COMPLETE**
                        BATCH    **COMPLETE**
PROJECTED ITERATIONS:       917140 TO   942900
PROJECTED ANSWERS:               0 TO        0

L4            0 SEA SSS SAM L3

=> s l3 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 185.40 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 17:20:32 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   930114 TO ITERATE

 93.8% PROCESSED   872149 ITERATIONS                               60 ANSWERS

100.0% PROCESSED   930114 ITERATIONS                               60 ANSWERS
SEARCH TIME: 00.00.34

L5           60 SEA SSS FUL L3

=> file hcaplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             191.16     191.38

FILE 'HCAPLUS' ENTERED AT 17:21:10 ON 23 FEB 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)


Copyright of the articles to which records in this database refer is
held by the publishers listed in the PUBLISHER (PB) field (available
```

FILE COVERS 1907 - 23 Feb 2009 VOL 150 ISS 9
FILE LAST UPDATED: 22 Feb 2009 (20090222/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

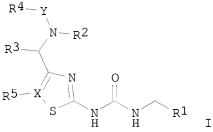
This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s l5
L6          23 L5

=> s l6 and beck, j?/au
         1908 BECK, J?/AU
L7          0 L6 AND BECK, J?/AU

=> s l6 and drowns, m?/au
            5 DROWNS, M?/AU
L8          0 L6 AND DROWNS, M?/AU

=> s l6 and warpehoski, m?/au
           35 WARPEHOSKI, M?/AU
L9          0 L6 AND WARPEHOSKI, M?/AU

=> d l6, ibib abs hitstr, 1-23

L6   ANSWER 1 OF 23  HCAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        2009:115050  HCAPLUS
TITLE:                   Preparation of antibacterial amide and sulfonamide
                         substituted heterocyclic urea compounds
INVENTOR(S):             Guiles, Joseph; Jarvis, Thale Cross; Strong, Sarah;
                         Sun, Xicheng; Qiu, Jian; Rohloff, John C.
PATENT ASSIGNEE(S):      Replidyne, Inc., USA
SOURCE:                  PCT Int. Appl., 252pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
     ----------          ----   --------    -------------------    --------
     WO 2009015208        A1    20090129    WO 2008-US70893        20080723
         W:  AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,
```

CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES,
FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,
ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,
PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ,
TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2007-961634P P 20070723
US 2008-22725P P 20080122

GI



I

AB The title compds. I [R1 = (un)substituted (hetero)aryl, arylalkyl; X = C, N; Y = CO, SO2; R2-R4 = H, OH, (un)substituted aryl, etc.; R5 = H, halo, alkyl, etc. (R5 is null when X = N)], useful as antibacterial agents, were prepared Synthetic methods for compds. I and their intermediates were described. Over 400 compds. I were prepared E.g., a multi-step synthesis of N-({2-[3-(3,4-dichlorobenzyl)ureido]thiazol-4-yl}methyl)-N-(2-methoxyethyl)acetamide, starting from 1,3-dichloroacetone and thiourea, was described. Exemplified I were tested for their antibacterial activity against a variety of pathogenic organisms (data given). Pharmaceutical compns. comprising the compound I and method of their production are also provided.

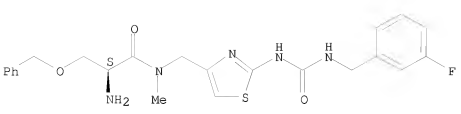
IT 1108710-02-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antibacterial amide and sulfonamide substituted heterocyclic urea compds.)

RN 1108710-02-6 HCAPLUS

CN Propanamide, 2-amino-N-[[2-[[[[(3-fluorophenyl)methyl]amino]carbonyl]amino]-4-thiazolyl]methyl]-N-methyl-3-(phenylmethoxy)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

STNa



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 23 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1105694 HCAPLUS
DOCUMENT NUMBER: 149:355880
TITLE: Benzo[c][2,7]naphthyridine derivatives and their use as kinase inhibitors and their preparation
INVENTOR(S): Wissner, Allan; Floyd, Middleton Brawner, Jr.; Dushin, Russell; Fraser, Heidi L.; Hu, Yongbo; Maderna, Andreas; Nittoli, Thomas; Wang, Yanong Daniel
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 335pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008109613	A1	20080912	WO 2008-US55815	20080304
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20080293712	A1	20081127	US 2008-42128	20080304

PRIORITY APPLN. INFO.: US 2007-905087P P 20070305
OTHER SOURCE(S): MARPAT 149:355880
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to benzo[c][2,7]naphthyridine derivs. of formula I, compns. comprising an effective amount of a benzo[c][2,7]naphthyridine derivative, methods for treating or preventing a proliferative disorder or an

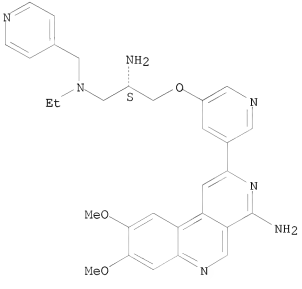
Updated Search

autoimmune disease, comprising administering to a subject in need thereof an effective amount of a benzo[c][2,7]naphthyridine derivative, methods for modulating PDK-I activity, PKA activity, Akt activity, S6K activity, or PKC activity, comprising administering to a subject in need thereof an effective amount of a benzo[c][2,7]naphthyridine derivative The invention also relates to processes for preparing a benzo[c][2,7]naphthyridine derivative Compds. of formula I wherein R1 is H, halo, OH, NH2, CN, NO2, C1-6 alkyl, etc.; R2 and R5 are independently H, OH, halo, CN, N3, NH2 and derivs., C1-4 alkyl, etc.; R3 and R4 are independently H, OH, halo, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, etc.; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by amination of (2R)-2-amino-3-{[5-(4-amino-8,9-dimethoxybenzo[c][2,7]naphthyridin-2-yl)pyridin-3-yl]oxy}propyl methanesulfonate hydrochloride with N-methyl-N-(3-pyridinylmethyl)amine. All the invention compds. were evaluated for their kinase inhibitory activity. From the assay, it was determined that compound II exhibited IC50 value of 4 nM against PDK-1.

IT 1055962-84-9P 1055963-22-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzonaphthyridine derivs. as kinase inhibitors useful in treatment and prevention of proliferative and autoimmune diseases)

RN 1055962-84-9 HCAPLUS
CN 1,2-Propanediamine, 3-[[5-(4-amino-8,9-dimethoxybenzo[c][2,7]naphthyridin-2-yl)-3-pyridinyl]oxy]-N1-ethyl-N1-(4-pyridinylmethyl)-, (2S)- (CA INDEX NAME)

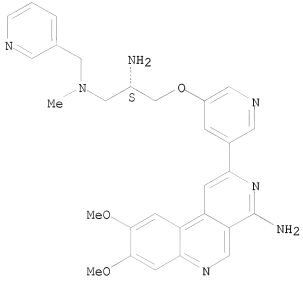
Absolute stereochemistry.



RN 1055963-22-8 HCAPLUS
CN 1,2-Propanediamine, 3-[[5-(4-amino-8,9-dimethoxybenzo[c][2,7]naphthyridin-2-yl)-3-pyridinyl]oxy]-N1-methyl-N1-(3-pyridinylmethyl)-, (2S)- (CA INDEX NAME)

STNa

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 23 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1187095 HCAPLUS
DOCUMENT NUMBER: 144:80549
TITLE: Structure activity studies of the serine-AIB dipeptide domain in 2,3-dihydroisothiazole based growth hormone secretagogues
AUTHOR(S): Evers, Britta; Ruehter, Gerd; Berg, Martina; Dodge, Jeffrey A.; Hankotius, Dirk; Hary, Ulrike; Jungheim, Louis N.; Mest, Hans-Juergen; de la Nava, Eva-Maria Martin; Mohr, Michael; Muehl, Brian S.; Petersen, Soenke; Sommer, Birgit; Riedel-Herold, Grit; Tebbe, Mark J.; Thrasher, Kenneth J.; Voelkers, Silke
CORPORATE SOURCE: Division of Eli Lilly Research Laboratories, Lilly Forschung GmbH, Hamburg, 22419, Germany
SOURCE: Bioorganic & Medicinal Chemistry (2005), 13(24), 6748-6762
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:80549
AB A series of growth hormone secretagogues (GHSs) based on 2,3-dihydroisothiazole has been synthesized in the search for a potential treatment of growth hormone deficiency or frailty in the elderly. This paper describes the evaluation of the SAR of the benzyl-D-Ser-aminoisobutyric acid dipeptide fragment. Introduction of substituents in the peptide backbone and in the Ph ring has been investigated, as well as replacements for the benzyl group and for the AIB

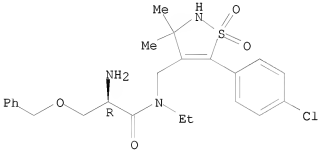
Updated Search

residue. A number of modifications resulted in enhanced potency over the parent benzyl-D-Ser-AIB derivative

IT 616894-97-4P 872414-12-5P 872414-13-6P
872414-14-7P 872414-15-8P 872414-16-9P
872414-17-0P 872414-18-1P 872414-19-2P
872414-20-5P 872414-21-6P 872414-22-7P
872414-23-8P 872414-24-9P 872414-25-0P
872414-26-1P 872414-27-2P 872414-28-3P
872414-29-4P 872414-30-7P 872414-31-8P
872414-32-9P 872414-33-0P 872414-34-1P
872414-35-2P 872414-36-3P 872414-37-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(structure activity studies of serine-AIB dipeptide domain in 2,3-dihydroisothiazole based growth hormone secretagogues)

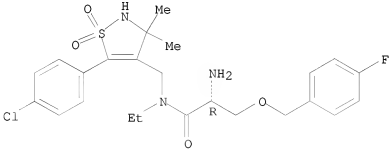
RN 616894-97-4 HCAPLUS
CN Propanamide, 2-amino-N-[[5-(4-chlorophenyl)-2,3-dihydro-3,3-dimethyl-1,1-dioxido-4-isothiazolyl]methyl]-N-ethyl-3-(phenylmethoxy)-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 872414-12-5 HCAPLUS
CN Propanamide, 2-amino-N-[[5-(4-chlorophenyl)-2,3-dihydro-3,3-dimethyl-1,1-dioxido-4-isothiazolyl]methyl]-N-ethyl-3-[(4-fluorophenyl)methoxy]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

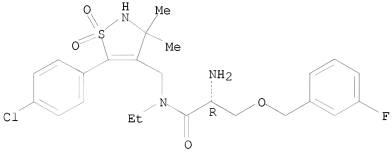


RN 872414-13-6 HCAPLUS

STNa

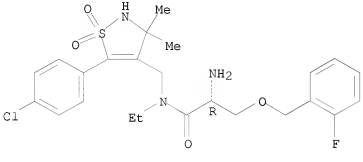
CN Propanamide, 2-amino-N-[[5-(4-chlorophenyl)-2,3-dihydro-3,3-dimethyl-1,1-dioxido-4-isothiazolyl]methyl]-N-ethyl-3-[(3-fluorophenyl)methoxy]-, (2R)-
(CA INDEX NAME)

Absolute stereochemistry.



RN 872414-14-7 HCAPLUS
CN Propanamide, 2-amino-N-[[5-(4-chlorophenyl)-2,3-dihydro-3,3-dimethyl-1,1-dioxido-4-isothiazolyl]methyl]-N-ethyl-3-[(2-fluorophenyl)methoxy]-, (2R)-
(CA INDEX NAME)

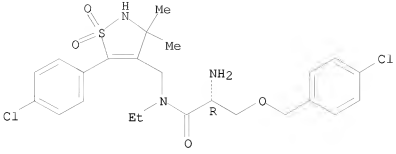
Absolute stereochemistry.



RN 872414-15-8 HCAPLUS
CN Propanamide, 2-amino-N-[[5-(4-chlorophenyl)-2,3-dihydro-3,3-dimethyl-1,1-dioxido-4-isothiazolyl]methyl]-3-[(4-chlorophenyl)methoxy]-N-ethyl-, (2R)-
(CA INDEX NAME)

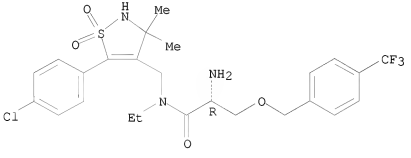
Absolute stereochemistry.

Updated Search



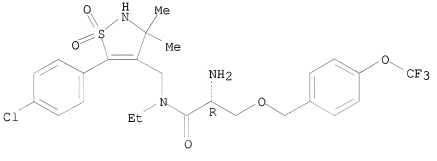
RN 872414-16-9 HCAPLUS
CN Propanamide, 2-amino-N-[[5-(4-chlorophenyl)-2,3-dihydro-3,3-dimethyl-1,1-dioxido-4-isothiazolyl]methyl]-N-ethyl-3-[[4-(trifluoromethyl)phenyl]methoxy]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 872414-17-0 HCAPLUS
CN Propanamide, 2-amino-N-[[5-(4-chlorophenyl)-2,3-dihydro-3,3-dimethyl-1,1-dioxido-4-isothiazolyl]methyl]-N-ethyl-3-[[4-(trifluoromethoxy)phenyl]methoxy]-, (2R)- (CA INDEX NAME)

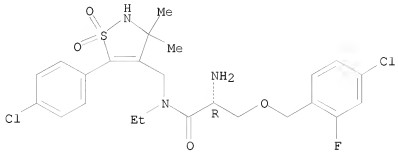
Absolute stereochemistry.



RN 872414-18-1 HCAPLUS
CN Propanamide, 2-amino-3-[(4-chloro-2-fluorophenyl)methoxy]-N-[[5-(4-chlorophenyl)-2,3-dihydro-3,3-dimethyl-1,1-dioxido-4-isothiazolyl]methyl]-

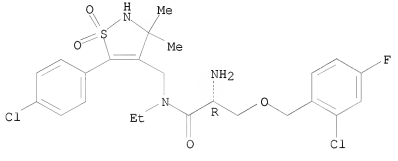
N-ethyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



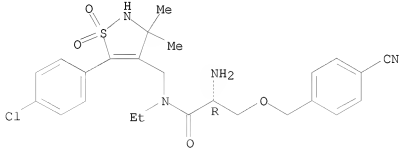
RN 872414-19-2 HCAPLUS
CN Propanamide, 2-amino-3-[(2-chloro-4-fluorophenyl)methoxy]-N-[[5-(4-chlorophenyl)-2,3-dihydro-3,3-dimethyl-1,1-dioxido-4-isothiazolyl]methyl]-N-ethyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



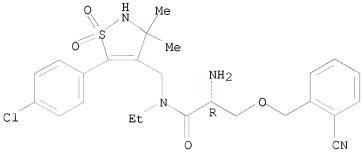
RN 872414-20-5 HCAPLUS
CN Propanamide, 2-amino-N-[[5-(4-chlorophenyl)-2,3-dihydro-3,3-dimethyl-1,1-dioxido-4-isothiazolyl]methyl]-3-[(4-cyanophenyl)methoxy]-N-ethyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



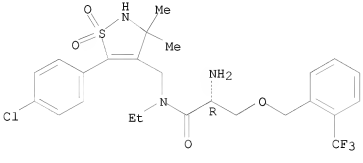
RN 872414-21-6 HCAPLUS
CN Propanamide, 2-amino-N-[[5-(4-chlorophenyl)-2,3-dihydro-3,3-dimethyl-1,1-dioxido-4-isothiazolyl]methyl]-3-[(2-cyanophenyl)methoxy]-N-ethyl-, (2R)-
(CA INDEX NAME)

Absolute stereochemistry.



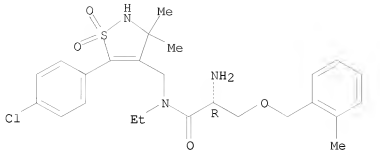
RN 872414-22-7 HCAPLUS
CN Propanamide, 2-amino-N-[[5-(4-chlorophenyl)-2,3-dihydro-3,3-dimethyl-1,1-dioxido-4-isothiazolyl]methyl]-N-ethyl-3-[[2-(trifluoromethyl)phenyl]methoxy]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



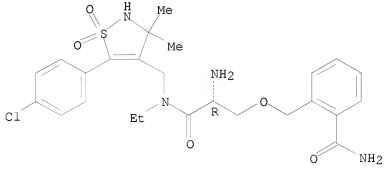
RN 872414-23-8 HCAPLUS
CN Propanamide, 2-amino-N-[[5-(4-chlorophenyl)-2,3-dihydro-3,3-dimethyl-1,1-dioxido-4-isothiazolyl]methyl]-N-ethyl-3-[(2-methylphenyl)methoxy]-, (2R)-
(CA INDEX NAME)

Absolute stereochemistry.



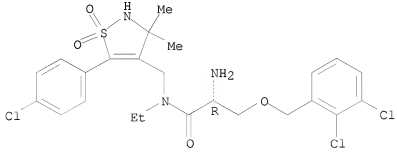
RN 872414-24-9 HCAPLUS
CN Benzamide, 2-[[(2R)-2-amino-3-[[[5-(4-chlorophenyl)-2,3-dihydro-3,3-dimethyl-1,1-dioxido-4-isothiazolyl]methyl]ethylamino]-3-oxopropoxy]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 872414-25-0 HCAPLUS
CN Propanamide, 2-amino-N-[[5-(4-chlorophenyl)-2,3-dihydro-3,3-dimethyl-1,1-dioxido-4-isothiazolyl]methyl]-3-[(2,3-dichlorophenyl)methoxy]-N-ethyl-, (2R)- (CA INDEX NAME)

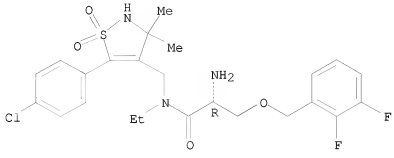
Absolute stereochemistry.



RN 872414-26-1 HCAPLUS
CN Propanamide, 2-amino-N-[[5-(4-chlorophenyl)-2,3-dihydro-3,3-dimethyl-1,1-

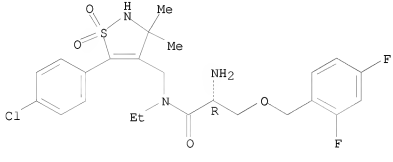
dioxido-4-isothiazolyl]methyl]-3-[(2,3-difluorophenyl)methoxy]-N-ethyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



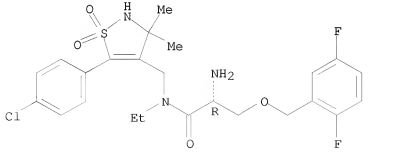
RN 872414-27-2 HCAPLUS
CN Propanamide, 2-amino-N-[[5-(4-chlorophenyl)-2,3-dihydro-3,3-dimethyl-1,1-dioxido-4-isothiazolyl]methyl]-3-[(2,4-difluorophenyl)methoxy]-N-ethyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



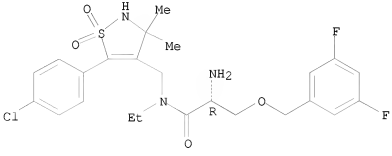
RN 872414-28-3 HCAPLUS
CN Propanamide, 2-amino-N-[[5-(4-chlorophenyl)-2,3-dihydro-3,3-dimethyl-1,1-dioxido-4-isothiazolyl]methyl]-3-[(2,5-difluorophenyl)methoxy]-N-ethyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



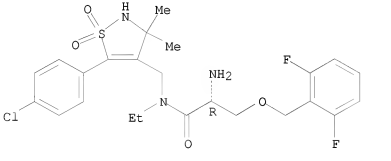
RN 872414-29-4 HCAPLUS
CN Propanamide, 2-amino-N-[[5-(4-chlorophenyl)-2,3-dihydro-3,3-dimethyl-1,1-dioxido-4-isothiazolyl]methyl]-3-[(3,5-difluorophenyl)methoxy]-N-ethyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



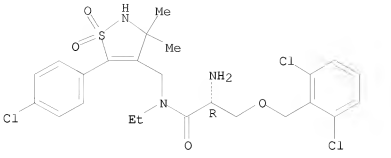
RN 872414-30-7 HCAPLUS
CN Propanamide, 2-amino-N-[[5-(4-chlorophenyl)-2,3-dihydro-3,3-dimethyl-1,1-dioxido-4-isothiazolyl]methyl]-3-[(2,6-difluorophenyl)methoxy]-N-ethyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



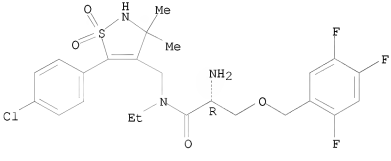
RN 872414-31-8 HCAPLUS
CN Propanamide, 2-amino-N-[[5-(4-chlorophenyl)-2,3-dihydro-3,3-dimethyl-1,1-dioxido-4-isothiazolyl]methyl]-3-[(2,6-dichlorophenyl)methoxy]-N-ethyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



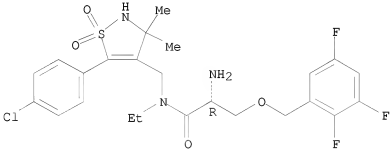
RN 872414-32-9 HCAPLUS
CN Propanamide, 2-amino-N-[[5-(4-chlorophenyl)-2,3-dihydro-3,3-dimethyl-1,1-dioxido-4-isothiazolyl]methyl]-N-ethyl-3-[(2,4,5-trifluorophenyl)methoxy]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 872414-33-0 HCAPLUS
CN Propanamide, 2-amino-N-[[5-(4-chlorophenyl)-2,3-dihydro-3,3-dimethyl-1,1-dioxido-4-isothiazolyl]methyl]-N-ethyl-3-[(2,3,5-trifluorophenyl)methoxy]-, (2R)- (CA INDEX NAME)

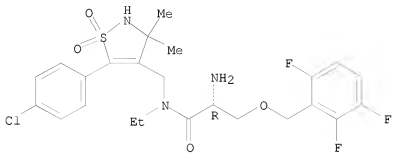
Absolute stereochemistry.



RN 872414-34-1 HCAPLUS
CN Propanamide, 2-amino-N-[[5-(4-chlorophenyl)-2,3-dihydro-3,3-dimethyl-1,1-dioxido-4-isothiazolyl]methyl]-N-ethyl-3-[(2,3,6-trifluorophenyl)methoxy]-

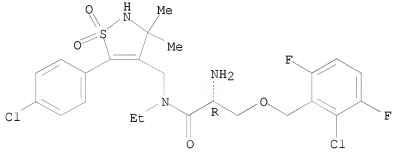
, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



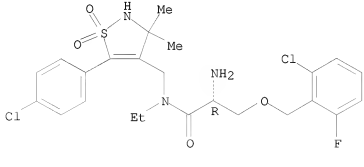
RN 872414-35-2 HCAPLUS
CN Propanamide, 2-amino-3-[(2-chloro-3,6-difluorophenyl)methoxy]-N-[[5-(4-chlorophenyl)-2,3-dihydro-3,3-dimethyl-1,1-dioxido-4-isothiazolyl]methyl]-N-ethyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



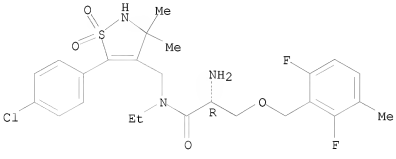
RN 872414-36-3 HCAPLUS
CN Propanamide, 2-amino-3-[(2-chloro-6-fluorophenyl)methoxy]-N-[[5-(4-chlorophenyl)-2,3-dihydro-3,3-dimethyl-1,1-dioxido-4-isothiazolyl]methyl]-N-ethyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 872414-37-4 HCAPLUS
CN Propanamide, 2-amino-N-[[5-(4-chlorophenyl)-2,3-dihydro-3,3-dimethyl-1,1-dioxido-4-isothiazolyl]methyl]-3-[(2,6-difluoro-3-methylphenyl)methoxy]-N-ethyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



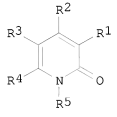
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 23 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:177838 HCAPLUS
DOCUMENT NUMBER: 142:280057
TITLE: Preparation of substituted pyridinones as modulators of p38 MAP kinase
INVENTOR(S): Devadas, Balekudru; Walker, John; Selness, Shaun R.; Boehm, Terri L.; Durley, Richard C.; Devraj, Rajesh; Hickory, Brian S.; Rucker, Paul V.; Jerome, Kevin D.; Madsen, Heather M.; Alvira, Edgardo; Promo, Michele A.; Blevis-Bal, Radhika M.; Marrufo, Laura D.; Hitchcock, Jeff; Owen, Thomas; Naing, Win; Xing, Li; Shieh, Huey S.; Sambandam, Aruna; Liu, Shuang; Scott, Ian L.; Mcgee, Kevin F.
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: PCT Int. Appl., 968 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

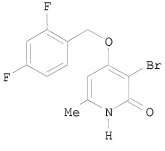
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005018557	A2	20050303	WO 2004-US26193	20040813
WO 2005018557	A3	20050804		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,

EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG
NL 1026826 A1 20050216 NL 2004-1026826 20040812
NL 1026826 C2 20070104
US 20050176775 A1 20050811 US 2004-918826 20040813
PRIORITY APPLN. INFO.: US 2003-494959P P 20030813
OTHER SOURCE(S): CASREACT 142:280057; MARPAT 142:280057
GI



I



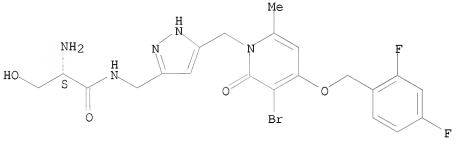
II

AB Disclosed are title compds. I and their pharmaceutically acceptable salts [R1 H, halo, NO2, CHO, CN, (un)substituted hydroxy/dihydroxy/aryl/alkyl, etc.; R2 = H, OH, halo, (un)substituted alkyl, alkoxy, etc.; R3 = H, halo, (un)substituted aryl/alkoxycarbonyl, arylalkyl, arylthio, etc.; R4 = H, (un)substituted alkyl; R5 = H, aryl, arylalkyl, etc.]. These compds. are useful for treating diseases and conditions caused or exacerbated by unregulated p38 MAP Kinase and/or TNF activity. Pharmaceutical compns. containing the compds., methods of preparing the compds. and methods of treatment
using the compds. are also disclosed. For example, II was prepared, in 3 steps, reacting 4-hydroxy-6-methylpyrone with NH4OH, followed by O-alkylation with 2,4-difluorobenzyl chloride, and bromination with Br2 in AcOH/H2O. Selected I inhibited MKK6-activated human p38α kinase phosphorylation of a biotinylated substrate or human p38α-induced phosphorylation of EGFRP (epidermal growth factor receptor peptide) with an IC50 in the range of 1 μM to 25 μM.

IT 847138-26-5P 847138-40-3P 847138-71-0P,
N-[[5-[[3-Bromo-4-[(2,4-difluorobenzyl)oxy]-6-methyl-2-oxo-2H-pyridin-1-yl]methyl]pyrazin-2-yl]methyl]-L-serine amide monohydrochloride
847140-97-0P, N-[[5-[[3-Bromo-4-[(2,4-difluorobenzyl)oxy]-6-methyl-2-oxo-2H-pyridin-1-yl]methyl]pyrazin-2-yl]methyl]-D-serinamide hydrochloride 847141-66-6P,
3-[3-Bromo-4-[(2,4-difluorobenzyl)oxy]-2-oxo-6-[(L-serylamino)methyl]pyridin-1(2H)-yl]benzamide hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(p38 kinase inhibitor; preparation of pyridinones as modulators of p38 MAP kinase and TNF activity)

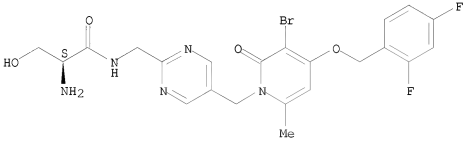
RN 847138-26-5 HCAPLUS
CN Propanamide, 2-amino-N-[[5-[[3-bromo-4-[(2,4-difluorophenyl)methoxy]-6-methyl-2-oxo-1(2H)-pyridinyl]methyl]-1H-pyrazol-3-yl]methyl]-3-hydroxy-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 847138-40-3 HCAPLUS
CN Propanamide, 2-amino-N-[[5-[[3-bromo-4-[(2,4-difluorophenyl)methoxy]-6-methyl-2-oxo-1(2H)-pyridinyl]methyl]-2-pyrimidinyl]methyl]-3-hydroxy-, (2S)- (CA INDEX NAME)

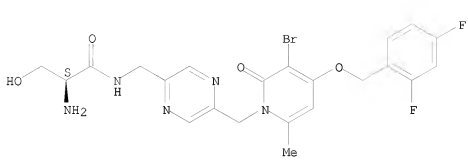
Absolute stereochemistry.



RN 847138-71-0 HCAPLUS
CN Propanamide, 2-amino-N-[[5-[[3-bromo-4-[(2,4-difluorophenyl)methoxy]-6-methyl-2-oxo-1(2H)-pyridinyl]methyl]-2-pyrazinyl]methyl]-3-hydroxy-, hydrochloride (1:1), (2S)- (CA INDEX NAME)

STNa

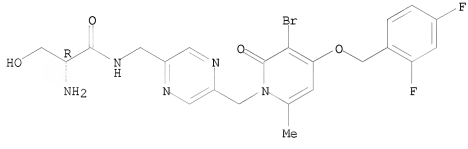
Absolute stereochemistry.



● HCl

RN 847140-97-0 HCAPLUS
CN Propanamide, 2-amino-N-[[5-[[3-bromo-4-[(2,4-difluorophenyl)methoxy]-6-methyl-2-oxo-1(2H)-pyridinyl]methyl]-2-pyrazinyl]methyl]-3-hydroxy-, hydrochloride (1:?), (2R)- (CA INDEX NAME)

Absolute stereochemistry.



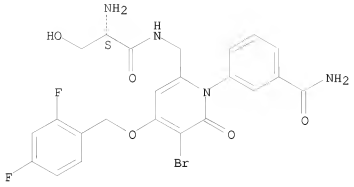
●x HCl

RN 847141-66-6 HCAPLUS
CN Benzamide, 3-[6-[[[(2S)-2-amino-3-hydroxy-1-oxopropyl]amino]methyl]-3-bromo-4-[(2,4-difluorophenyl)methoxy]-2-oxo-1(2H)-pyridinyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

STNa



● HCl

L6 ANSWER 5 OF 23 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:837058 HCAPLUS
DOCUMENT NUMBER: 139:338194
TITLE: Preparation of heterocyclyl amino acid derivatives as growth hormone secretagogues
INVENTOR(S): Evers, Britta; Ruehter, Gerd; Martin de la Nava, Eva Maria; Tebbe, Mark Joseph
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 186 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

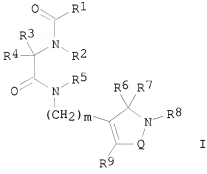
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087070	A2	20031023	WO 2003-US8821	20030331
WO 2003087070	A3	20031231		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003218337	A1	20031027	AU 2003-218337	20030331
EP 1497316	A2	20050119	EP 2003-714333	20030331
EP 1497316	B1	20060705		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
AT 332307	T	20060715	AT 2003-714333	20030331
AT 338767	T	20060915	AT 2003-716747	20030331

Updated Search

ES 2266798	T3	20070301	ES 2003-714333		20030331
ES 2271557	T3	20070416	ES 2003-716747		20030331
US 20050240001	A1	20051027	US 2004-510393		20041005
US 7396846	B2	20080708			
PRIORITY APPLN. INFO.:			GB 2002-8116	A	20020409
			GB 2002-8117	A	20020409
			GB 2002-8118	A	20020409
			GB 2002-8119	A	20020409
			GB 2002-8120	A	20020409
			US 2002-371270P	P	20020409
			US 2002-371271P	P	20020409
			US 2002-371275P	P	20020409
			US 2002-371277P	P	20020409
			US 2002-371278P	P	20020409
			WO 2003-US8821	W	20030331

OTHER SOURCE(S): MARPAT 139:338194

GI



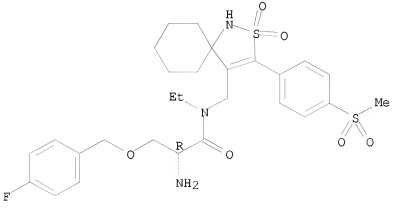
I

AB The invention relates to novel compds. I [R1 is NHR10 or (un)substituted (cyclo)alkyl-NHR10, where R10 is H, alkyl, alkyl(OH), alkylidenyl(OH)R11, or an amino protecting group (R11 is alkyl, alkenyl, alkoxyalkyl, alkyl ester, aryl, or alkylaryl); R2 is H, alkyl, aryl, or alkylaryl; R3 is (un)substituted alkyl, aryl, alkylaryl, alkoxyalkylaryl, cycloalkyl, alkylcycloalkyl, etc.; R4, R5, R8 are groups which include those given for R2; R6, R7 are H or substituted alk(en)yl or CR6R7 is a substituted carbocyclic ring or a cycloalkyl group which may be unsatd.; R9 is CF3SO2-substituted aryl, aryloxy, or arylamino; Q is SO2 or CO; m is 1 or 2] which are useful in the modulation of endogenous growth hormone levels in a mammal and to novel intermediates and processes used in the synthesis of the compds. Thus, peptide (R)-I.HCl [R1 = CMe2NH2, R2, R3, R8 = H, R4 = 2,4-F2C6H3CH2OCH2, R5 = Et, R6R7 = (CH2)5, R9 = p-MeSO2C6H4, Q = SO2, m = 1] was prepared via coupling reactions and showed EC50 = 0.23 nM in the pituitary cell culture assay for growth hormone secretion.

IT 616882-30-5P 616882-32-7P 616882-33-8P
616882-34-9P 616882-35-0P 616882-36-1P
616882-37-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclyl amino acid derivs. as growth hormone secretagogues)

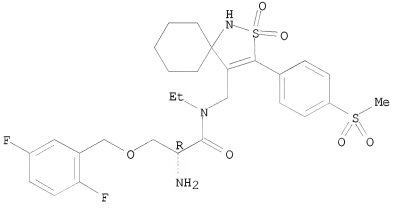
RN 616882-30-5 HCAPLUS
CN Propanamide, 2-amino-N-ethyl-3-[(4-fluorophenyl)methoxy]-N-[[3-[4-(methylsulfonyl)phenyl]-2,2-dioxido-2-thia-1-azaspiro[4.5]dec-3-en-4-yl]methyl]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 616882-32-7 HCAPLUS
CN Propanamide, 2-amino-3-[(2,5-difluorophenyl)methoxy]-N-ethyl-N-[[3-[4-(methylsulfonyl)phenyl]-2,2-dioxido-2-thia-1-azaspiro[4.5]dec-3-en-4-yl]methyl]-, (2R)- (CA INDEX NAME)

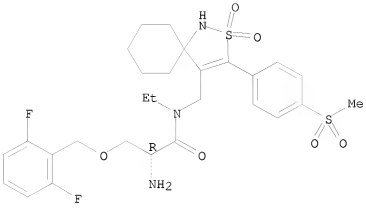
Absolute stereochemistry.



RN 616882-33-8 HCAPLUS
CN Propanamide, 2-amino-3-[(2,6-difluorophenyl)methoxy]-N-ethyl-N-[[3-[4-(methylsulfonyl)phenyl]-2,2-dioxido-2-thia-1-azaspiro[4.5]dec-3-en-4-yl]methyl]-, (2R)- (CA INDEX NAME)

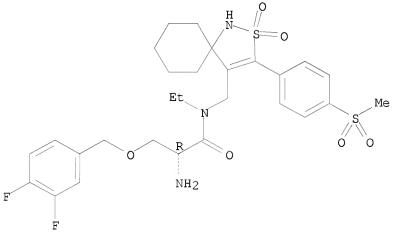
Absolute stereochemistry.

STNa



RN 616882-34-9 HCAPLUS
CN Propanamide, 2-amino-3-[(3,4-difluorophenyl)methoxy]-N-ethyl-N-[[3-[4-(methylsulfonyl)phenyl]-2,2-dioxido-2-thia-1-azaspiro[4.5]dec-3-en-4-yl]methyl]-, hydrochloride (1:1), (2R)- (CA INDEX NAME)

Absolute stereochemistry.

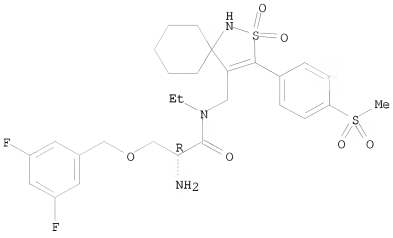


● HCl

RN 616882-35-0 HCAPLUS
CN Propanamide, 2-amino-3-[(3,5-difluorophenyl)methoxy]-N-ethyl-N-[[3-[4-(methylsulfonyl)phenyl]-2,2-dioxido-2-thia-1-azaspiro[4.5]dec-3-en-4-yl]methyl]-, (2R)- (CA INDEX NAME)

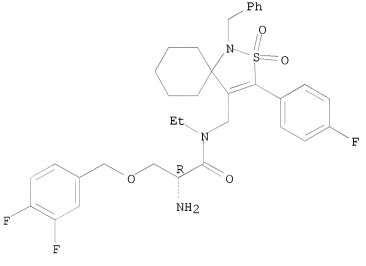
Absolute stereochemistry.

Updated Search



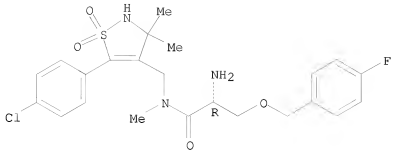
RN 616882-36-1 HCAPLUS
CN Propanamide, 2-amino-3-[(3,4-difluorophenyl)methoxy]-N-ethyl-N-[[3-(4-fluorophenyl)-2,2-dioxido-1-(phenylmethyl)-2-thia-1-azaspiro[4.5]dec-3-en-4-yl]methyl]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 616882-37-2 HCAPLUS
CN Propanamide, 2-amino-N-[[5-(4-chlorophenyl)-2,3-dihydro-3,3-dimethyl-1,1-dioxido-4-isothiazolyl]methyl]-3-[(4-fluorophenyl)methoxy]-N-methyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 6 OF 23 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:837057 HCAPLUS
DOCUMENT NUMBER: 139:338193
TITLE: Preparation of heterocyclyl amino acid derivatives as growth hormone secretagogues
INVENTOR(S): Evers, Britta; Ruehter, Gerd; Tebbe, Mark Joseph; Martin de la Nava, Eva Maria
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 157 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087069	A2	20031023	WO 2003-US8680	20030331
WO 2003087069	A3	20040325		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003220441	A1	20031027	AU 2003-220441	20030331
EP 1497317	A2	20050119	EP 2003-716747	20030331
EP 1497317	B1	20060906		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
AT 332307	T	20060715	AT 2003-714333	20030331
AT 338767	T	20060915	AT 2003-716747	20030331
ES 2266798	T3	20070301	ES 2003-714333	20030331
ES 2271557	T3	20070416	ES 2003-716747	20030331
US 20060167268	A1	20060727	US 2004-510305	20041005

PRIORITY APPLN. INFO.:

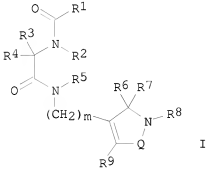
GB 2002-8116	A	20020409
GB 2002-8117	A	20020409
GB 2002-8118	A	20020409

STNa

GB 2002-8119	A	20020409
GB 2002-8120	A	20020409
US 2002-371270P	P	20020409
US 2002-371271P	P	20020409
US 2002-371275P	P	20020409
US 2002-371277P	P	20020409
US 2002-371278P	P	20020409
WO 2003-US8680	W	20030331

OTHER SOURCE(S): MARPAT 139:338193

GI



I

AB The invention relates to novel compds. I [R1 is NHR10 or (un)substituted (cyclo)alkyl-NHR10, where R10 is H, alkyl, alkyl(OH), alkylidenyl(OH)R11, or an amino protecting group (R11 is alkyl, alkenyl, alkoxyalkyl, alkyl ester, aryl, or alkylaryl); R2 is H, alkyl, aryl, or alkylaryl; R3 is (un)substituted aryl, alkylaryl, alkoxyalkylaryl, cycloalkyl, alkylcycloalkyl, or indolinyl; R4, R5, R8, R9 are groups which include those given for R2; R6 is H and R7 is substituted alk(en)yl or CR6R7 is substituted cycloalkyl which may be unsatd.; Q is SO2 or CO; m is 1 or 2] which are useful in the modulation of endogenous growth hormone levels in a mammal and to novel intermediates and processes used in the synthesis of the compds. Thus, peptide (R)-I.HCl [R1 = C(CH2F)2NH2, R2, R3, R8 = H, R4 = PhCH2OCH2, R5 = Et, R6, R7 = Me, R9 = p-ClC6H4, Q = SO2, m = 1] was prepared via coupling reaction and shown to be active in the pituitary cell culture assay for growth hormone secretion.

IT 616894-97-4P 616895-17-1P 616895-20-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclyl amino acid derivs. as growth hormone secretagogues)

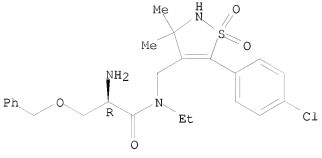
RN 616894-97-4 HCAPLUS

CN Propanamide, 2-amino-N-[[5-(4-chlorophenyl)-2,3-dihydro-3,3-dimethyl-1,1-dioxido-4-isothiazolyl]methyl]-N-ethyl-3-(phenylmethoxy)-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

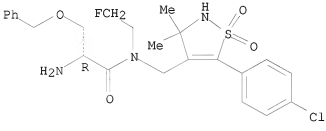
Updated Search

STNa



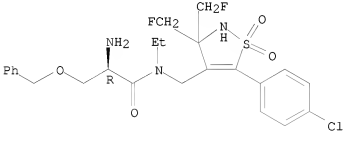
RN 616895-17-1 HCAPLUS
CN Propanamide, 2-amino-N-[[5-(4-chlorophenyl)-2,3-dihydro-3,3-dimethyl-1,1-dioxido-4-isothiazolyl]methyl]-N-(2-fluoroethyl)-3-(phenylmethoxy)-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 616895-20-6 HCAPLUS
CN Propanamide, 2-amino-N-[[5-(4-chlorophenyl)-3,3-bis(fluoromethyl)-2,3-dihydro-1,1-dioxido-4-isothiazolyl]methyl]-N-ethyl-3-(phenylmethoxy)-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 7 OF 23 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:758774 HCAPLUS
DOCUMENT NUMBER: 134:56943
TITLE: N-Terminal Peptide Aldehydes as Electrophiles in Combinatorial Solid Phase Synthesis of Novel Peptide Isosteres

Updated Search

STNa

AUTHOR(S): Groth, Thomas; Meldal, Morten
CORPORATE SOURCE: Center for Solid Phase Organic Combinatorial Chemistry, Department of Chemistry, Carlsberg Laboratory, Valby, DK-2500, Den.
SOURCE: Journal of Combinatorial Chemistry (2001), 3(1), 45-63
CODEN: JCCHFF; ISSN: 1520-4766
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:56943

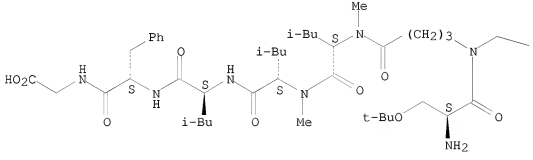
AB N-Terminal peptide aldehydes were synthesized on a solid support and utilized as electrophiles in nucleophilic reactions in order to furnish novel and diverse peptide isosteres. The aldehyde moiety of the peptide was synthesized by coupling a protected aldehyde building block to the peptide and deprotecting it quant. in less than 3 min. It was found that protection of the two succeeding amide nitrogens was necessary in order to avoid reaction between the aldehyde and backbone amides. The N-terminal peptide aldehydes were successfully reacted in the following way: (a) reductive amination with a large variety of amines, leading to N-alkyl-γ-aminobutyric peptide isosteres positioned centrally in the peptide; (b) reductive amination with amino esters, leading to N-terminal 2,5-diketopiperazine peptides; (c) Horner-Wadsworth-Emmons olefination, leading to unsatd. peptide isosteres positioned centrally in the peptide; and (d) Pictet-Spengler condensations, leading to tetrahydro-β-carbolines either positioned centrally in a peptide or fused with a diketopiperazine ring in the N-terminus of the peptide.

IT 313694-29-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(terminal peptide aldehydes as electrophiles in combinatorial solid phase synthesis of novel peptide isosteres)

RN 313694-29-0 HCAPLUS

CN Glycine, O-(1,1-dimethylethyl)-L-seryl-4-[(3-pyridinylmethyl)amino]butanoyl-N-methyl-L-leucyl-N-methyl-L-leucyl-L-leucyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 23 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:352627 HCAPLUS
DOCUMENT NUMBER: 129:54476
ORIGINAL REFERENCE NO.: 129:11361a,11364a
TITLE: Protein kinase inhibitors for treatment of neurological disorders
INVENTOR(S): Lewis, Michael E.; Kauer, James C.; Neff, Nicola; Roberts-Lewis, Jill; Murakata, Chikara; Saito, Hiromitsu; Matsuda, Yuzuru; Glicksman, Marcie A.; Kanai, Fumihiko; Kaneko, Masami
PATENT ASSIGNEE(S): Cephalon, Inc., USA; Kyowa Hakko Kogyo Co., Ltd.
SOURCE: U.S., 61 pp., Cont.-in-part of U.S. Ser. No. 329,540.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5756494	A	19980526	US 1995-456642	19950602
US 5461146	A	19951024	US 1993-96561	19930722
EP 768312	A2	19970416	EP 1996-116661	19930726
EP 768312	A3	19970604		
EP 768312	B1	20000906		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
EP 1002534	A1	20000524	EP 1999-120008	19930726
EP 1002534	B1	20050921		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
EP 1512688	A1	20050309	EP 2004-25114	19930726
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
US 5621100	A	19970415	US 1994-329540	19941026
CA 2203767	A1	19960509	CA 1995-2203767	19951004
WO 9613506	A1	19960509	WO 1995-US12965	19951004
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9539516	A	19960523	AU 1995-39516	19951004
AU 704314	B2	19990422		

STNa

EP 788501	A1	19970813	EP 1995-937391		19951004
EP 788501	B1	20020605			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
BR 9509480	A	19970930	BR 1995-9480		19951004
JP 10510514	T	19981013	JP 1996-514605		19951004
JP 3832512	B2	20061011			
EP 1125938	A1	20010822	EP 2001-110483		19951004
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV					
NZ 295871	A	20010928	NZ 1995-295871		19951004
AT 218571	T	20020615	AT 1995-937391		19951004
ES 2177665	T3	20021216	ES 1995-937391		19951004
US 5741808	A	19980421	US 1997-800383		19970214
GR 3034917	T3	20010228	GR 2000-402623		20001128
JP 2003113184	A	20030418	JP 2002-244111		20020823
JP 3723533	B2	20051207			
JP 2005170955	A	20050630	JP 2005-19891		20050127
JP 2005314429	A	20051110	JP 2005-150815		20050524
JP 2006117690	A	20060511	JP 2005-357071		20051212
PRIORITY APPLN. INFO.:			US 1992-920102	B2	19920724
			US 1993-96561	A2	19930722
			US 1994-329540	A2	19941026
			EP 1993-917337	A3	19930726
			EP 1996-116661	A3	19930726
			JP 1994-504731	A3	19930726
			US 1995-456642	A	19950602
			EP 1995-937391	A3	19951004
			JP 1996-514605	A3	19951004
			WO 1995-US12965	W	19951004
			EP 1999-120008	A3	19991014
			JP 2002-244111	A3	20020823

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

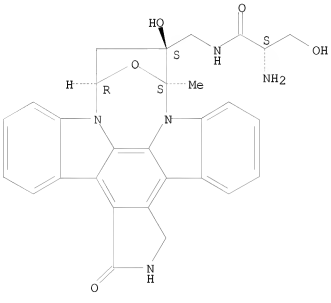
AB Derivs. of K-252a I (R = HO, MeO; R1 = H, Br, NHCONHPh, CH2SPh, 2-pyrimidinylthiomethyl, 2-furylmethylthiomethyl, etc.; R2 = H, Br, Cl, CH2OH, etc.; R 3 = CH2OH, CO2Me, CH2NHCO2Ph, CONHPh, CH2NHCO2Me, etc.; Z = O, H2), as well as novel bis-N-substituted derivs. of staurosporine XNMeWNMeX (W = C(:Y)NH, W1NHC(:Y); W1 = hydrocarbylene radical of 2-20 carbon atoms; Y = O, S) were prepared The invention also features a method for treating diseased neuronal cells involving the administration of either the novel staurosporine derivs. or specified functional derivs. of K-252a. Thus, staurosporine was treated with hexamethyl-bis-isocyanate to give 1,6-hexamethylene-bis-(carbamylstaurosporine). The spinal cord choline acetyltransferase (CHAT) activity of I (R = OH, R1 = R2 = Br; R3 = CH2OH, Z = H2) at 300 nM was 146 compared with K-252a of 100.

IT 167468-05-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of staurosporine and K-252a derivs. as protein kinase inhibitors for treatment of neurol. disorders)

Updated Search

RN 167468-05-5 HCAPLUS
CN Propanamide, 2-amino-N-[[(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl]-3-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 77 THERE ARE 77 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

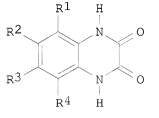
L6 ANSWER 9 OF 23 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:278950 HCAPLUS
DOCUMENT NUMBER: 126:251169
ORIGINAL REFERENCE NO.: 126:48567a,48570a
TITLE: Preparation of novel 2,3-dioxo-1,2,3,4-tetrahydro-quinoxalinyl derivatives as AMPA, kainate and/or glycine binding sites of the NMDA receptor ligands
INVENTOR(S): Acklin, Pierre; Allgeier, Hans; Auberson, Yves; Biollaz, Michel; Moretti, Robert; Ofner, Silvio; Veenstra, Siem Jacob
PATENT ASSIGNEE(S): Novartis Ag, Switz.; Acklin, Pierre; Allgeier, Hans; Auberson, Yves; Biollaz, Michel; Moretti, Robert; Ofner, Silvio; Veenstra, Siem Jacob
SOURCE: PCT Int. Appl., 157 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

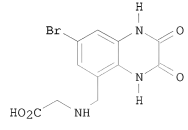
WO 9708155	A1	19970306	WO 1996-EP3644	19960819
W: AL, AU, BB, BG, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KP, KR, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2227851	A1	19970306	CA 1996-2227851	19960819
CA 2227851	C	20090106		
AU 9668742	A	19970319	AU 1996-68742	19960819
AU 705871	B2	19990603		
EP 853617	A1	19980722	EP 1996-929275	19960819
EP 853617	B1	20040303		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI				
CN 1193968	A	19980923	CN 1996-196581	19960819
CN 1137886	C	20040211		
HU 9801676	A2	19990329	HU 1998-1676	19960819
HU 9801676	A3	19990428		
JP 11511444	T	19991005	JP 1997-509801	19960819
JP 3159711	B2	20010423		
IL 122987	A	20010808	IL 1996-122987	19960819
AT 260902	T	20040315	AT 1996-929275	19960819
PT 853617	T	20040630	PT 1996-929275	19960819
ES 2217324	T3	20041101	ES 1996-929275	19960819
PL 189637	B1	20050930	PL 1996-324992	19960819
TW 438782	B	20010607	TW 1996-85110230	19960822
IN 1996MA01489	A	20071026	IN 1996-MA1489	19960823
ZA 9607322	A	19970228	ZA 1996-7322	19960829
NO 9800814	A	19980421	NO 1998-814	19980226
NO 310236	B1	20010611		
US 6080743	A	20000627	US 1998-29525	19980227
HK 1010196	A1	20050121	HK 1998-111287	19981016
PRIORITY APPLN. INFO.:			CH 1995-2479	A 19950831
			CH 1995-2734	A 19950927
			CH 1995-2747	A 19950928
			CH 1996-1213	A 19960510
			CH 1996-1630	A 19960628
			CH 1996-1214	A 19960510
			WO 1996-EP3644	W 19960819

OTHER SOURCE(S): MARPAT 126:251169

GI



I



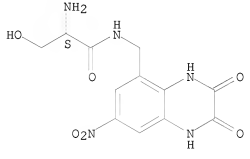
II

AB The title compds. [I; one of R1 and R2 = R5 and the other = CH(R6)-alk-R7, alk-CH(R6)R7, etc. (wherein R5 = R3, R4; R6 = unsubstituted or lower alkylated and/or lower alkanoylated amino; R7 = H, an aliphatic, cycloaliph., heterocycloaliph. radical, etc.); R3, R4 = H, lower alkyl, halo, etc.], useful in the preparation of a medicament for the treatment of pathol. conditions that are responsive to blocking of AMPA, kainate and/or glycine binding sites of the NMDA receptor, were prepared and formulated. Thus, reaction of 7-bromo-5-bromomethyl-2,3-dimethoxyquinoxaline with glycine tert-Bu ester hydrochloride in the presence of Et3N in MeCN followed by deesterification afforded the title compound II.HBr. Compds. I are effective at 10-500 mg/day when administered orally to 75 kg patient.

IT 188694-41-9P 188696-62-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel 2,3-dioxo-1,2,3,4-tetrahydro-quinoxalinyl derivs. as AMPA, kainate and/or glycine binding sites of the NMDA receptor ligands)

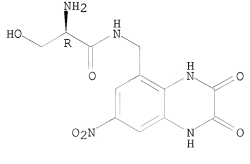
RN 188694-41-9 HCAPLUS
CN Propanamide, 2-amino-3-hydroxy-N-[(1,2,3,4-tetrahydro-7-nitro-2,3-dioxo-5-quinoxalinyl)methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 188696-62-0 HCAPLUS
CN Propanamide, 2-amino-3-hydroxy-N-[(1,2,3,4-tetrahydro-7-nitro-2,3-dioxo-5-quinoxalinyl)methyl]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

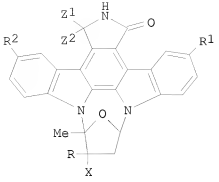
L6 ANSWER 10 OF 23 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:276796 HCAPLUS
DOCUMENT NUMBER: 126:343709
ORIGINAL REFERENCE NO.: 126:66849a,66852a
TITLE: Protein kinase inhibitors for treatment of neurological disorders
INVENTOR(S): Lewis, Michael E.; Kauer, James C.; Neff, Nicola; Roberts-Lewis, Jill; Murakata, Chikara; Saito, Hiromitsu; Matsuda, Yuzuru; Glicksman, Marcie A.; Kanai, Fumihiko; Kaneko, Masami
PATENT ASSIGNEE(S): Cephalon, Inc., USA; Kyowa Hakko Kogyo Co., Ltd.
SOURCE: U.S., 60 pp., Cont.-in-part of U.S. 5,621,100.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5621101	A	19970415	US 1995-486739	19950607
US 5461146	A	19951024	US 1993-96561	19930722
EP 768312	A2	19970416	EP 1996-116661	19930726
EP 768312	A3	19970604		
EP 768312	B1	20000906		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
EP 1002534	A1	20000524	EP 1999-120008	19930726
EP 1002534	B1	20050921		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
EP 1512688	A1	20050309	EP 2004-25114	19930726
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
US 5621100	A	19970415	US 1994-329540	19941026
GR 3034917	T3	20010228	GR 2000-402623	20001128
JP 2003113184	A	20030418	JP 2002-244111	20020823
JP 3723533	B2	20051207		
JP 2005170955	A	20050630	JP 2005-19891	20050127

PRIORITY APPLN. INFO.:		
US 1992-920102	B2	19920724
US 1993-96561	A2	19930722
US 1994-329540	A2	19941026
EP 1993-917337	A3	19930726
EP 1996-116661	A3	19930726
JP 1994-504731	A3	19930726
EP 1999-120008	A3	19991014
JP 2002-244111	A3	20020823

OTHER SOURCE(S): MARPAT 126:343709
GI

STNa



I

AB K-252a derivs., e.g. I [R = OH; R1 = H, CH2SO2Et, CH2SCH2CH2NH2, (1,3,5-triazol-1-yl)iminomethyl, CH2SCH2CH2NHBu, CH2CH2CH2NMe2, CH2NMe2, 2-pyridylthiomethyl, 2-pyrimidinylthiomethyl, 2-pyrimidinylsulfinylmethyl; R2 = Z1 = Z2 = H; X = CH2NHCOCH(CH2OH)NHCbz-(S), CO2Me, CONH2], were prepared as protein kinase inhibitors for treatment of neurol. disorders. I [R = OH, R1 = CH2SO2Et, R2 = Z1 = Z2 = H, X = CO2Me; (II)] was prepared from I (R = OH, R1 = CH2SEt, R2 = Z1 = Z2 = H, X = CO2Me) via oxidation with 3-ClC6H4CO3H in CHCl3. II at 30 nM had an Ipsi/Contra ratio of 62 for cortical ChAT activity in NBM rats with lesions.

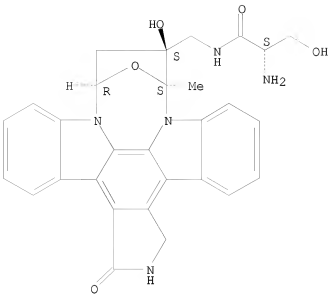
IT 167468-05-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of K-252a derivs. as protein kinase inhibitors for treatment of neurol. disorders)

RN 167468-05-5 HCAPLUS

CN Propanamide, 2-amino-N-[[(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl]-3-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

STNa



L6 ANSWER 11 OF 23 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:276795 HCAPLUS
DOCUMENT NUMBER: 126:343708
ORIGINAL REFERENCE NO.: 126:66849a,66852a
TITLE: K-252a derivatives for treatment of neurological disorders
INVENTOR(S): Saito, Hiromitsu; Matsuda, Yuzuru; Glicksman, Marcie A.; Kanai, Fumihiko; Kaneko, Masami; Lewis, Michael E.; Kauer, James C.; Neff, Nicola; Roberts-Lewis, Jill; Murakata, Chikara
PATENT ASSIGNEE(S): Cephalon, Inc., USA; Kyowa Hakko Kogyo Co., Ltd.
SOURCE: U.S., 51 pp., Cont.-in-part of U.S. 5,461,146. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5621100	A	19970415	US 1994-329540	19941026
US 5461146	A	19951024	US 1993-96561	19930722
EP 768312	A2	19970416	EP 1996-116661	19930726
EP 768312	A3	19970604		
EP 768312	B1	20000906		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
EP 1002534	A1	20000524	EP 1999-120008	19930726
EP 1002534	B1	20050921		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
EP 1512688	A1	20050309	EP 2004-25114	19930726
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
US 5756494	A	19980526	US 1995-466642	19950602

Updated Search

STNa

US 5621101	A	19970415	US 1995-486739	19950607
CA 2203767	A1	19960509	CA 1995-2203767	19951004
WO 9613506	A1	19960509	WO 1995-US12965	19951004
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9539516	A	19960523	AU 1995-39516	19951004
AU 704314	B2	19990422		
EP 788501	A1	19970813	EP 1995-937391	19951004
EP 788501	B1	20020605		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
BR 9509480	A	19970930	BR 1995-9480	19951004
JP 10510514	T	19981013	JP 1996-514605	19951004
JP 3832512	B2	20061011		
EP 1125938	A1	20010822	EP 2001-110483	19951004
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV				
NZ 295871	A	20010928	NZ 1995-295871	19951004
AT 218571	T	20020615	AT 1995-937391	19951004
ES 2177665	T3	20021216	ES 1995-937391	19951004
US 5741808	A	19980421	US 1997-800383	19970214
GR 3034917	T3	20010228	GR 2000-402623	20001128
JP 2003113184	A	20030418	JP 2002-244111	20020823
JP 3723533	B2	20051207		
JP 2005170955	A	20050630	JP 2005-19891	20050127
JP 2005314429	A	20051110	JP 2005-150815	20050524
JP 2006117690	A	20060511	JP 2005-357071	20051212

PRIORITY APPLN. INFO.:	US 1992-920102	B2 19920724
	US 1993-96561	A2 19930722
	EP 1993-917337	A3 19930726
	EP 1996-116661	A3 19930726
	JP 1994-504731	A3 19930726
	US 1994-329540	A2 19941026
	US 1995-456642	A 19950602
	EP 1995-937391	A3 19951004
	JP 1996-514605	A3 19951004
	WO 1995-US12965	W 19951004
	EP 1999-120008	A3 19991014
	JP 2002-244111	A3 20020823

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

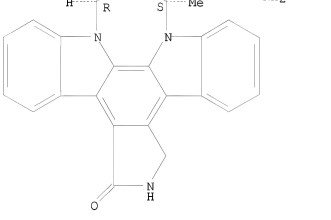
AB K-252a derivs. were prepared as agents for treatment of neurol. disorders. The derivative I is claimed. I was prepared from from dialdehyde II via reduction with NaBH4, thiolation with EtSH in the presence of CSA, and deacetylation with NaOMe. I (0.03 mg/kg QOD) had an Ipsi/Contra ratio of 93.8 for cortical ChAT activity in NBM rats with lesions.

Updated Search

STNa

IT 167468-05-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of K-252a derivs. as protein kinase inhibitors for treatment of neurol. disorders)
RN 167468-05-5 HCAPLUS
CN Propanamide, 2-amino-N-[[(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl]-3-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

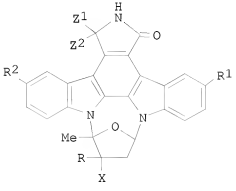


L6 ANSWER 12 OF 23 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:124905 HCAPLUS
DOCUMENT NUMBER: 126:216650
ORIGINAL REFERENCE NO.: 126:41815a,41818a
TITLE: Aqueous polyethylene glycol solutions containing indolocarbazoles
INVENTOR(S): Goldstein, Joel D.; Herman, Joseph L.
PATENT ASSIGNEE(S): Cephalon, Inc., USA
SOURCE: U.S., 31 pp., Cont.-in-part of U.S. Ser. No. 199,390, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

Updated Search

US 5599808 A 19970204 US 1995-383414 19950203
PRIORITY APPLN. INFO.: US 1994-199390 B2 19940218
GI

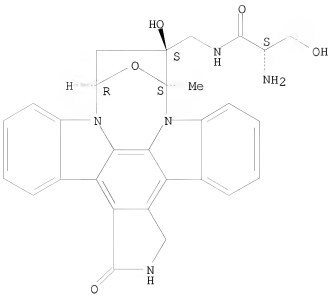


I

AB Solns. of indolocarbazoles, such as I [R = OH, OMe; R1 = H, Br, Cl, Me, NHCONHPh, CH2S(O)nEt, NMe2, NHCO2Me, CH2OCONHEt, CH2OEt, CH2NMe2, CH2SEt, CH:NNH; R2 = H, Br, Cl, NHCONHEt, CH2SEt, CH2OH; X = H, CH2N3, CO2Me, CH2OH, CONHEt, CONH2, CONHPr,CH2S(O)Me, CH:NOH, CONHCH2CH2OH, CH:NNHCONH2, CH2OAc, CONHPh, CH2S(O)nPh; Z1 = Z2 = H; Z1Z2 = O; n = 0-2], contain 1-99% organic solvent, 0.25-10% dispersant, 0-99% H2O and 0-60% polyethylene glycol. Thus, K-252a was dissolved in a solvent containing 50% PEG-600, 2% benzyl alc., 10% Triton X-100 and 38% H2O to give a solution containing 10 mg/mL
K-252a. Many I were also prepared
IT 167468-05-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of aqueous polyethylene glycol solns. containing indolocarbazoles)
RN 167468-05-5 HCAPLUS
CN Propanamide, 2-amino-N-[[(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl]-3-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

STNa



L6 ANSWER 13 OF 23 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:404877 HCAPLUS
DOCUMENT NUMBER: 125:86967
ORIGINAL REFERENCE NO.: 125:16421a,16424a
TITLE: Protein kinase inhibitors for treatment of neurological disorders
INVENTOR(S): Lewis, Michael E.; Kauer, James C.; Neff, Nicola; Glicksman, Marcie; Roberts-Lewis, Jill; Murakata, Chikara; Saito, Hiromitsu; Matsuda, Yuzuru; Kanai, Fumihiko; Kaneko, Masami
PATENT ASSIGNEE(S): Cephalon, Inc., USA; Kyowa Hakko Kogyo Co., Ltd.
SOURCE: PCT Int. Appl., 162 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

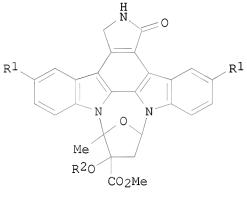
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9613506	A1	19960509	WO 1995-US12965	19951004
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5621100	A	19970415	US 1994-329540	19941026
US 5756494	A	19980526	US 1995-456642	19950602
AU 9539516	A	19960523	AU 1995-39516	19951004
AU 704314	B2	19990422		

Updated Search

EP 788501	A1	19970813	EP 1995-937391		19951004
EP 788501	B1	20020605			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
BR 9509480	A	19970930	BR 1995-9480		19951004
JP 10510514	T	19981013	JP 1996-514605		19951004
JP 3832512	B2	20061011			
NZ 295871	A	20010928	NZ 1995-295871		19951004
AT 218571	T	20020615	AT 1995-937391		19951004
PRIORITY APPLN. INFO.:			US 1994-329540	A	19941026
			US 1995-456642	A	19950602
			US 1992-920102	B2	19920724
			US 1993-96561	A2	19930722
			WO 1995-US12965	W	19951004

OTHER SOURCE(S): MARPAT 125:86967

GI



I

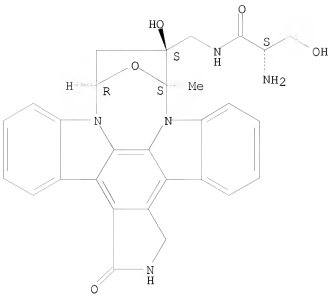
AB Staurosporine dimers RNMeCXNHX1NHCXNMeR [R = staurosporine; X = O, S; X1 = alkylene] and K-252a derivs. were prepared for use as protein kinase inhibitors for treatment of neurol. disorders. Thus, K-252a analog I [R1 =CHO, R2 = Ac] was reduced to I [R = CH2OH] which was treated with EtSH and deacetylated to give I [R1 = CH2SEt, R2 = H, II]. II attenuated the decrease in cholinergic function in the frontal cortex with induced lesions. Choline acetyltransferase in undamaged frontal cortex was unaffected by II.

IT 167468-05-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of K-252a analogs as protein kinase inhibitors)

RN 167468-05-5 HCAPLUS

CN Propanamide, 2-amino-N-[[(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl]-3-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 14 OF 23 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:350577 HCAPLUS
DOCUMENT NUMBER: 125:86695
ORIGINAL REFERENCE NO.: 125:16357a,16360a
TITLE: Use of indolocarbazole derivatives to treat a pathological condition of the prostate
INVENTOR(S): Dionne, Craig A.; Contreras, Patricia C.; Murakata, Chikara
PATENT ASSIGNEE(S): Cephalon, Inc., USA; Kyowa Hakko Kogyo Co., Ltd.
SOURCE: U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 96,622, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5516771	A	19960514	US 1994-250175	19940527
CA 2163904	A1	19941208	CA 1994-2163904	19940527
CA 2163904	C	20000125		
EP 839814	A2	19980506	EP 1998-200023	19940527
EP 839814	A3	19980916		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
AT 165097	T	19980515	AT 1994-918168	19940527
ES 2118414	T3	19980916	ES 1994-918168	19940527
US 5654427	A	19970805	US 1995-463680	19950605
JP 2002356487	A	20021213	JP 2002-153049	20020527
JP 3727613	B2	20051214		

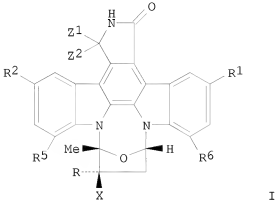
STNa

PRIORITY APPLN. INFO.:

US 1993-69178	A2 19930528
US 1993-96622	B2 19930722
EP 1994-918168	A3 19940527
JP 1995-501026	A3 19940527
US 1994-250175	A3 19940527

OTHER SOURCE(S): MARPAT 125:86695

GI



I

AB The invention features a method of treating a pathol. condition of the prostate gland, e.g., benign prostatic hypertrophy or prostate cancer, in a mammal, said method comprising administering to said mammal a therapeutic amount of the indolocarbazole compound K-252a (I; R = OH, R1 = R2 = R5 = R6 = Z1 = Z2 = H, X = CO2Me) or a preferred derivative thereof. The invention also includes novel derivs. I of K-252a, wherein Z1 = Z2 = H, R is selected from OH, O-alkyl of 1-6 carbons and O-acyl of 2-6 carbons, X is selected from H, CONHPh (with the proviso that both R1 and R2 are not Br), CH2Y wherein Y is OR7 (wherein R7 is H or acyl of 2-5 carbons, preferably Ac), SOR8 (wherein R8 is alkyl of 1-3 carbons, aryl, or a heterocyclic group including a nitrogen), NR9NR10 (wherein R9 and R10, independently, are H, alkyl of 1-3 carbons, Pro, Ser, Gly, Lys, or acyl), SR16 (wherein R16 ia an aryl, alkyl of 1-3 carbons, or a heterocyclic group including a nitrogen), N3, CO2Me, S-glc, CONR11R12 (wherein R11 and R12, independently, are H, alkyl of 1-6 carbons, Ph, hydroxyalkyl of 1-6 carbons, or R11R12 = CH2CH2OCH2CH2), CO2Me, CH:NNHCONH2, CONHOH, CH:NOH, CH:NNHC(:NH)NH2, CH:NNH-(2-imidazolyl), CH:NN(R17)2 (wherein R17 = aryl), CH2NHCONHR18 (wherein R18 is lower alkyl or aryl); X and R combined form CH2NHCO2, CH2OCMe2O, O, CH2NMeCO2. In I, R1, R2, R5, R6 are, independently, H, up to 2 are F, Cl, Br, iodo, No2,CH, OH; NHCONHR13(wherein R13 is Ph or alkyl of 1-3 carbons, with the proviso that only one of R1, R2, R5, R6 is NHCONHR13), CH2OR13 (R13 is alkyl of 1-6 carbons), CH2OCONHR14, NHCOI2R14 (wherein R14 is lower alkyl), CH(SPh)2, CH(SCHG2CH2S); R1 = CH2S(O)pR21 (wherein p = 0, 1 and R21 is aryl, alkyl of 1-3 carbons, a heterocyclic group that includes a nitrogen), or R22R23 = (CH2)4, CH2CH2OCH2CH2, CH2CH2NMeCH2CH2, with the proviso that R22 and R23 cannot both be H and at least one of R22 or R23 is H, except when both are alkyl and R2 = R5 = R6 = H; when Z1 and Z2 are combined to represent O, X = CO2Me, R = OH, And R1 = R2 = R5 = R6 = H.

IT 167468-05-5P

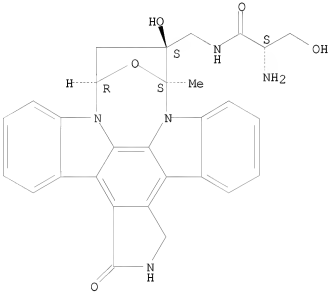
Updated Search

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(use of indolocarbazole derivs. to treat pathol. condition of the prostate)

RN 167468-05-5 HCAPLUS

CN Propanamide, 2-amino-N-[[(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl]-3-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



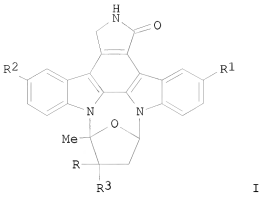
L6 ANSWER 15 OF 23 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	1995:958536 HCAPLUS
DOCUMENT NUMBER:	124:202711
ORIGINAL REFERENCE NO.:	124:37485a,37488a
TITLE:	Preparation of staurosporine derivatives as protein kinase inhibitors for the treatment of neurological disorders
INVENTOR(S):	Lewis, Michael E.; Kauer, James C.; Neff, Nicola; Roberts-Lewis, Jill; Murakata, Chikara; Saito, Hiromitsu; Matsuda, Yuzuru; Glicksman, Marcie A.
PATENT ASSIGNEE(S):	Cephalon, Inc., USA; Kyowa Hakko Kogyo Co., Ltd.
SOURCE:	U.S., 35 pp. Cont.-in-part of U.S. Ser. No. 920,102, abandoned. CODEN: USXXAM
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	6
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5461146	A	19951024	US 1993-96561	19930722
EP 768312	A2	19970416	EP 1996-116661	19930726
EP 768312	A3	19970604		
EP 768312	B1	20000906		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 152111	T	19970515	AT 1993-917337	19930726
ES 2101331	T3	19970701	ES 1993-917337	19930726
EP 1002534	A1	20000524	EP 1999-120008	19930726
EP 1002534	B1	20050921		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
AT 196142	T	20000915	AT 1996-116661	19930726
ES 2151629	T3	20010101	ES 1996-116661	19930726
PT 768312	T	20010330	PT 1996-116661	19930726
NZ 286198	A	20010629	NZ 1993-286198	19930726
EP 1512688	A1	20050309	EP 2004-25114	19930726
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
AT 304848	T	20051015	AT 1999-120008	19930726
ES 2248950	T3	20060316	ES 1999-120008	19930726
US 5621100	A	19970415	US 1994-329540	19941026
US 5756494	A	19980526	US 1995-456642	19950602
US 5621101	A	19970415	US 1995-486739	19950607
US 5741808	A	19980421	US 1997-800383	19970214
HK 1028206	A1	20060120	HK 2000-107421	20001121
GR 3034917	T3	20010228	GR 2000-402623	20001128
JP 2003113184	A	20030418	JP 2002-244111	20020823
JP 3723533	B2	20051207		
JP 2005170955	A	20050630	JP 2005-19891	20050127
PRIORITY APPLN. INFO.:			US 1992-920102	B2 19920724
			US 1993-96561	A2 19930722
			EP 1993-917337	A3 19930726
			EP 1996-116661	A3 19930726
			JP 1994-504731	A3 19930726
			US 1994-329540	A2 19941026
			US 1995-456642	A3 19950602
			EP 1999-120008	A3 19991014
			JP 2002-244111	A3 20020823
OTHER SOURCE(S):	MARPAT 124:202711			

GI

STNa



I

AB The K-252a, and bis-N-substituted derivs. of staurosporine I (R = HO, MeO; R1, R2 = H, Br; R3 = CH2OH, CH2NHCO2Ph, CONHPh, CH2NHCO2Me) were prepared as protein kinase inhibitors for treatment of diseased neuronal cells. Thus, N-phenylcarbamylstaurosporine was reduced with NaBH4 followed by treatment with carbobenzyloxy-L-serine and hydrogenolysis to give I (R, R1, R2 = H, R3 = CH2NH-Ser). I promoted survival of striatal neurons in the striatal cell survival assay.

IT 167468-05-5
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)
(preparation of staurosporine derivs. as protein kinase inhibitors for treatment of neurol. disorders)

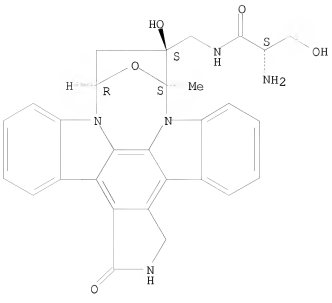
RN 167468-05-5 HCAPLUS

CN Propanamide, 2-amino-N-[[(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl]-3-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

STNa



L6 ANSWER 16 OF 23 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:931389 HCAPLUS
DOCUMENT NUMBER: 124:15478
ORIGINAL REFERENCE NO.: 124:2921a,2924a
TITLE: Aqueous indolocarbazole solutions
INVENTOR(S): Goldstein, Joel D.; Herman, Joseph L.
PATENT ASSIGNEE(S): Cephalon, Inc., USA
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9522331	A1	19950824	WO 1995-US1436	19950203
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LV, MG, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9519110	A	19950904	AU 1995-19110	19950203
PRIORITY APPLN. INFO.:			US 1994-199390 A	19940218
			WO 1995-US1436 W	19950203

OTHER SOURCE(S): MARPAT 124:15478
AB Indolocarbazole solns. are disclosed. The invention features a solution comprising: (i) an indolocarbazole; (ii) a selected organic solvent being present in a concentration of between about 1% and about 99% by weight inclusive,
(iii) a dispersant being present in a concentration of between about 0.25% and about 10% by weight inclusive; (i.v.) water being present in a concentration of between 0% and about 99% by weight inclusive, and (v) a polyethylene glycol

Updated Search

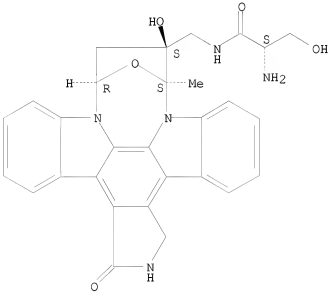
being present in a concentration of between 0% and about 60% by weight inclusive.

IT 167468-05-5P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(aqueous indolocarbazole pharmaceutical solns.)

RN 167468-05-5 HCAPLUS

CN Propanamide, 2-amino-N-[[(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl]-3-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 17 OF 23 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	1995:777654 HCAPLUS
DOCUMENT NUMBER:	123:198839
ORIGINAL REFERENCE NO.:	123:35505a,35508a
TITLE:	Preparation of indolocarbazole derivatives to treat prostatic cancer and hypertrophy
INVENTOR(S):	Dionne, Craig A.; Contreras, Patricia C.; Murakata, Chikara
PATENT ASSIGNEE(S):	Cephalon, Inc., USA; Kyowa Hakko Kogyo Co., Ltd.
SOURCE:	PCT Int. Appl., 95 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	2
PATENT INFORMATION:	

STNa

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9427982	A1	19941208	WO 1994-US6082	19940527
W: AU, CA, FI, HU, JP, KR, LK, NO, NZ, PL, RO, RU, UA				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2163904	A1	19941208	CA 1994-2163904	19940527
CA 2163904	C	20000125		
AU 9469607	A	19941220	AU 1994-69607	19940527
AU 679752	B2	19970710		
EP 699204	A1	19960306	EP 1994-918168	19940527
EP 699204	B1	19980415		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
EP 839814	A2	19980506	EP 1998-200023	19940527
EP 839814	A3	19980916		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
AT 165097	T	19980515	AT 1994-918168	19940527
ES 2118414	T3	19980916	ES 1994-918168	19940527
JP 2002504064	T	20020205	JP 1995-501026	19940527
JP 3344586	B2	20021111		
NZ 267337	A	20050128	NZ 1994-267337	19940527
FI 9505709	A	19960103	FI 1995-5709	19951127
FI 113537	B1	20040514		
NO 9504816	A	19960126	NO 1995-4816	19951127
NO 306902	B1	20000110		
JP 2002356487	A	20021213	JP 2002-153049	20020527
JP 3727613	B2	20051214		
FI 2003001516	A	20031016	FI 2003-1516	20031016
FI 114864	B1	20050114		
PRIORITY APPLN. INFO.:			US 1993-69178 A	19930528
			US 1993-96622 A	19930722
			EP 1994-918168 A3	19940527
			JP 1995-501026 A3	19940527
			WO 1994-US6082 W	19940527
OTHER SOURCE(S):	MARPAT 123:198839			

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R = OH, alkoxy, acyloxy; R1, R2, R5, R6 = H, Cl, F, Br, I, NO2, CN, substituted ureido, etc.; X = H, CONHPh, etc.; Z1, Z2 = H, O (when combined)] [II; R1, R2, R5, R6 = H, halogen, NO2, CN, OH, substituted ureido; R3, R4 = H. alkyl, hydroxyalkyl, alkenyl; Z1, Z2 = H, O (when combined)], useful as inhibitors of tyrosine kinase activity associated with neurotrophin receptors for treating benign prostatic hypertrophy or prostate cancer, are prepared Thus, oxadiazepine I (R = OH, R1 = R2 = R5 = R6 = Z1 = Z2 = H, X = CONHCH2CH2OH) was prepared and demonstrated a IC50 of 0.038 μM against the Tsu-Pr1 human prostate cancer cell line.

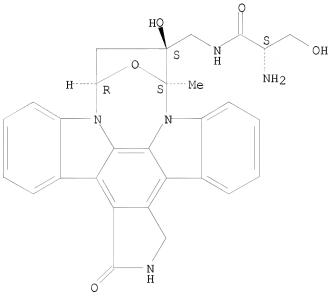
IT 167468-05-5P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of indolocarbazole derivs. to treat prostatic cancer and benign prostatic hypertrophy)

Updated Search

STNa

RN 167468-05-5 HCAPLUS
CN Propanamide, 2-amino-N-[[(9S,10S,12R)-2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl]methyl]-3-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 18 OF 23 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1994:680945 HCAPLUS
DOCUMENT NUMBER: 121:280945
ORIGINAL REFERENCE NO.: 121:51303a,51306a
TITLE: Preparation of bis-staurosporine and K-252a derivatives for enhancing neuron function
INVENTOR(S): Lewis, Michael E.; Neff, Nicola; Roberts-Lewis, Jill; Murakata, Chikara; Saito, Hiromitsu; Matsuda, Yuzuru; Kauer, James C.
PATENT ASSIGNEE(S): Cephalon, Inc., USA; Kyowa Hakko Kogyo Co., Ltd.
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9402488	A1	19940203	WO 1993-US6974	19930726
W: AU, BR, CA, FI, HU, JP, KR, NO, NZ, PT, RU, UA				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9346881	A	19940214	AU 1993-46881	19930726
AU 675236	B2	19970130		

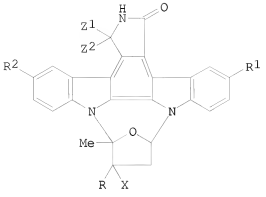
Updated Search

EP 651754	A1	19950510	EP 1993-917337	19930726
EP 651754	B1	19970423		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
HU 71239	A2	19951128	HU 1995-192	19930726
HU 225297	B1	20060928		
JP 08501080	T	19960206	JP 1994-504731	19930726
JP 3762427	B2	20060405		
EP 768312	A2	19970416	EP 1996-116661	19930726
EP 768312	A3	19970604		
EP 768312	B1	20000906		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 152111	T	19970515	AT 1993-917337	19930726
ES 2101331	T3	19970701	ES 1993-917337	19930726
BR 9306789	A	19981208	BR 1993-6789	19930726
EP 1002534	A1	20000524	EP 1999-120008	19930726
EP 1002534	B1	20050921		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
AT 196142	T	20000915	AT 1996-116661	19930726
ES 2151629	T3	20010101	ES 1996-116661	19930726
PT 768312	T	20010330	PT 1996-116661	19930726
NZ 286198	A	20010629	NZ 1993-286198	19930726
EP 1512688	A1	20050309	EP 2004-25114	19930726
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
AT 304848	T	20051015	AT 1999-120008	19930726
ES 2248950	T3	20060316	ES 1999-120008	19930726
HU 225342	B1	20061028	HU 2003-1425	19930726
HU 225341	B1	20061028	HU 2003-1601	19930726
NO 9500242	A	19950307	NO 1995-242	19950123
NO 9900542	A	19990205	NO 1999-542	19950307
HK 1028206	A1	20060120	HK 2000-107421	20001121
GR 3034917	T3	20010228	GR 2000-402623	20001128
JP 2003113184	A	20030418	JP 2002-244111	20020823
JP 3723533	B2	20051207		
JP 2005170955	A	20050630	JP 2005-19891	20050127

PRIORITY APPLN. INFO.:	US 1992-920102	A	19920724
	EP 1993-917337	A3	19930726
	EP 1996-116661	A3	19930726
	JP 1994-504731	A3	19930726
	WO 1993-US6974	W	19930726
	EP 1999-120008	A3	19991014
	JP 2002-244111	A3	20020823

OTHER SOURCE(S): MARPAT 121:280945
GI

STNa



I

AB QNMeWNMeQ [Q = staurosporine residue; W = C(:Y)NHW'NHC(:Y); W' = C2-20 hydrocarbylene; Y = O, S], K-252a derivs. (I; e.g., R1, R2, Z1, Z2 = H; X = CH2OH; R = OMe), etc., were prepared Thus, staurosporine was treated with 1,6-hexamethylenebisisocyanate in EtOAc to give 1,6-hexamethylenebis(carbamoylstaurosporine). The latter potentiated the effect of nerve growth factor on stimulation of ornithine decarboxylase activity in PC-12 cells at all concns. tested. K-252a and numerous analogs increased choline acetyltransferase activity in fetal rat spinal cord cultures, promoted dorsal root ganglion neuron survival, etc.

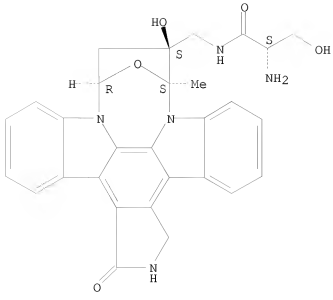
IT 156177-60-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for enhancing neuron function)

RN 156177-60-5 HCAPLUS

CN Propanamide, 2-amino-N-[(2,3,9,10,11,12-hexahydro-10-hydroxy-9-methyl-1-oxo-9,12-epoxy-1H-diindolo[1,2,3-fg:3',2',1'-kl]pyrrolo[3,4-i][1,6]benzodiazocin-10-yl)methyl]-3-hydroxy-, hydrochloride, [9S-[9α,10β,10(R*),12α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

●x HCl

L6 ANSWER 19 OF 23 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1993:234486 HCAPLUS
DOCUMENT NUMBER: 118:234486
ORIGINAL REFERENCE NO.: 118:40639a,40642a
TITLE: Preparation of phosphorus containing compounds as inhibitors of retroviruses
INVENTOR(S): Hester, Jackson B.; Fisher, Jed F.; Thaisrivongs, Suvit; Maggiora, Linda Louise; Sawyer, Tomi Kim
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

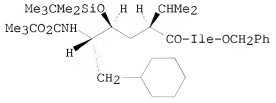
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9217490	A1	19921015	WO 1992-US2238	19920327

W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, PL, RO, RU, SD, US
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG

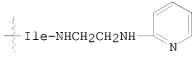
STNa

AU 9217487	A	19921102	AU 1992-17487		19920327
EP 578745	A1	19940119	EP 1992-910121		19920327
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE					
JP 06506463	T	19940721	JP 1992-509356		19920327
PRIORITY APPLN. INFO.:			US 1991-679508	A2	19910404
			WO 1992-US2238	A	19920327

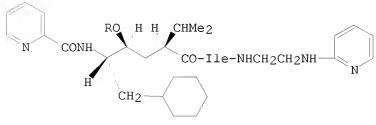
OTHER SOURCE(S): MARPAT 118:234486
GI



I



II



III

AB Phosphorus-containing peptides X-C-D-E-F-G-Z [X = H, C1-C7 alkyl, aralkyl, alkylheterocyclyl, alkylcycloalkyl, substituted acyl; C-G = independently bond, amino acid residue, dipeptide transition state analog, phosphorylated amino acid, phosphorylated dipeptide transition state analog; Z = OH, alkoxy, (substituted) amino], having at least one O-phosphate monoester or diester, parent compds. thereof, and pharmaceutically acceptable salts thereof, were prepared as inhibitors for mammalian cells infected with retroviruses. Thus, hydrogenolysis of benzyl ester I (preparation given), followed by amidation with 2-(2-aminoethylamino)pyridine gave II. Deprotection of II followed by amidation with picolinic acid gave III (R = SiMe2CMe3), which was desilylated and phosphorylated to give a title derivative III (R = PO3H2).

IT 146362-99-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and peptide coupling of, with protected pseudodipeptide, in preparation of HIV-1 protease inhibitor)

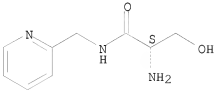
RN 146362-99-4 HCAPLUS

CN Propanamide, 2-amino-3-hydroxy-N-(2-pyridinylmethyl)-, (S)- (9CI) (CA

STNa

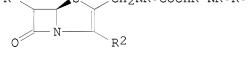
INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 20 OF 23 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1989:407778 HCAPLUS
DOCUMENT NUMBER: 111:7778
ORIGINAL REFERENCE NO.: 111:1499a,1502a
TITLE: Preparation of (acylaminomethyl)penem compounds as antibiotics
INVENTOR(S): Lang, Marc
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Eur. Pat. Appl., 92 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 201459	A1	19861112	EP 1986-810193	19860430
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
DK 8602066	A	19861107	DK 1986-2066	19860505
FI 8601869	A	19861107	FI 1986-1869	19860505
AU 8657119	A	19861113	AU 1986-57119	19860505
ZA 8603347	A	19861230	ZA 1986-3347	19860505
JP 61254593	A	19861112	JP 1986-102200	19860506
PRIORITY APPLN. INFO.:			CH 1985-1900 A	19850506
OTHER SOURCE(S):	MARPAT 111:7778			
GI				



I

AB The title compds. [I; R1 = (un)protected OH, substituted alkyl; R2 = (un)functionalized CO2H; R3 = H, alkyl; R4 = H, C-bound organic group; R5 = H, alkyl; R4R5 = OH- or oxo-(un)substituted alkylene; R6 = H, alkyl, acyl] and their optical isomers, mixts. of these optical isomers, and salts, useful as antibiotics in prepns. to treat infectious illnesses, were prepared (5R,6S)-2-[(2S)-Alanylaminomethyl]-6-hydroxymethyl-2-penem-3-

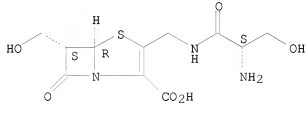
Updated Search

carboxylic acid was prepared in 8 steps from Gly-Gly-OH and C1CO2C6H4NO2-4. A formulation contained 0.5 g (5R,6S)-2-(glycylaminomethyl)-6-[(1R)-1-hydroxyethyl]-2-penem-3-carboxylic acid and 0.05 g mannitol in an ampul or vial.

IT 120874-30-8P 120874-31-9P 120874-32-0P
120874-33-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as antibiotic)

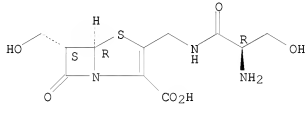
RN 120874-30-8 HCAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 3-[[(2-amino-3-hydroxy-1-oxopropyl)amino]methyl]-6-(hydroxymethyl)-7-oxo-, [5R-[3(S*),5α,6α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 120874-31-9 HCAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 3-[[(2-amino-3-hydroxy-1-oxopropyl)amino]methyl]-6-(hydroxymethyl)-7-oxo-, [5R-[3(R*),5α,6α]]- (9CI) (CA INDEX NAME)

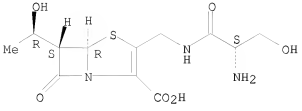
Absolute stereochemistry.



RN 120874-32-0 HCAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 3-[[(2-amino-3-hydroxy-1-oxopropyl)amino]methyl]-6-(1-hydroxyethyl)-7-oxo-, [5R-[3(S*),5α,6α(R*)]]- (9CI) (CA INDEX NAME)

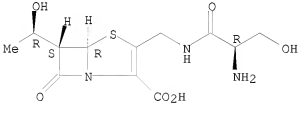
Absolute stereochemistry.

STNa



RN 120874-33-1 HCAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 3-[[(2-amino-3-hydroxy-1-oxopropyl)amino]methyl]-6-(1-hydroxyethyl)-7-oxo-, [5R-[3(R*),5α,6α(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



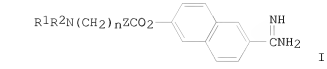
L6 ANSWER 21 OF 23 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1986:590906 HCAPLUS
DOCUMENT NUMBER: 105:190906
ORIGINAL REFERENCE NO.: 105:30807a,30810a
TITLE: Heterocyclic amidino compounds
INVENTOR(S): Fujii, Setsuro; Nakayama, Toyoo; Nunomura, Shigeki; Sudo, Kimio; Watanabe, Shinichi; Okutome, Toshiyuki; Sakurai, Yojiro; Kurumi, Masateru; Aoyama, Takuo
PATENT ASSIGNEE(S): Torii and Co., Ltd., Japan
SOURCE: Ger. Offen., 38 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3427865	A1	19860206	DE 1984-3427865	19840727
DE 3427865	C2	19870820		
PRIORITY APPLN. INFO.:			DE 1984-3427865	19840727

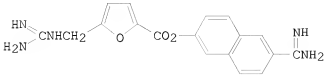
OTHER SOURCE(S): CASREACT 105:190906
GI

Updated Search

STNa



I



II

AB Amidino compds. I [Z = furandiyl, thiophenediyl, benzofurandiyl; R1 = H, alkyl; R2 = H, alkyl, acyl, R3N:C(NR4R5); R3, R4, R5 = H, alkyl; R1 to R5, including the N to which they are bound, form a ring, optionally with another hetero atom; n = 0-2], useful as inhibitors of proteases (trypsin, plasmin, kallikrein, and thrombin) and complement, were prepared A mixture of 5-guanidinomethyl-2-furancarboxylic acid and 6-amidino-2-naphthol in DMF-pyridine was treated with a catalytic amount 4-(dimethylamino)pyridine at 0° and the mixture stirred 30 min at 0° and overnight at room temperature to give furancarboxylate II. At 1 + 105M, II gave 35% inhibition of trypsin in the tosylarginine Me ester hydrolysis inhibition test.

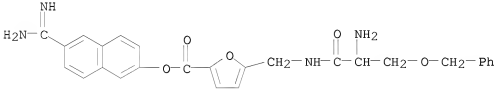
IT 103245-97-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as inhibitors of proteases and complement)

RN 103245-97-2 HCAPLUS

CN 2-Furancarboxylic acid, 5-[[[2-amino-1-oxo-3-(phenylmethoxy)propyl]amino]methyl]-, 6-(aminoiminomethyl)-2-naphthalenyl ester, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 103232-16-2
CMF C27 H26 N4 O5



CM 2

CRN 76-05-1
CMF C2 H F3 O2

Updated Search

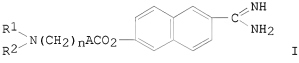
STNa

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 22 OF 23 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1986:497298 HCAPLUS
DOCUMENT NUMBER: 105:97298
ORIGINAL REFERENCE NO.: 105:15721a,15724a
TITLE: Preparation of amidinonaphthyl esters of heterocyclic carboxylic acids as anticomplement drugs
INVENTOR(S): Fujii, Setsuo; Nakayama, Toyoo; Nunomura, Shigeki; Sudo, Kimio; Watabe, Shinichi; Okutome, Toshiyuki; Sakurai, Yojiro; Kurumi, Masateru; Aoyama, Takuo
PATENT ASSIGNEE(S): Torii and Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61022075	A	19860130	JP 1984-143100	19840710
PRIORITY APPLN. INFO.:			JP 1984-143100	19840710

OTHER SOURCE(S): CASREACT 105:97298
GI



I

AB Forty-two title esters I (A = furan, thiophene, or benzofuran residue; R1 = H, C1-4 alkyl; R2 = H, alkyl, acyl, or R3N:C(NR4R5); R3-R5 = H, C1-4 alkyl, etc.; n = 0-2) are prepared as immune complement inhibitors. Thus, 6-amidino-2-naphthyl 5-(guanidinomethyl)furan-2-carboxylate was prepared by condensation of 5-(guanidinomethyl)furan-2-carboxylic acid with 6-amidino-2-naphthol in the presence of 4-(dimethylamino)pyridine. Several pharmaceutical formulations containing I were given.

IT 103245-97-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as anticomplement drug)

RN 103245-97-2 HCAPLUS

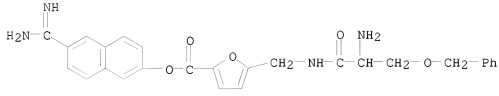
CN 2-Furancarboxylic acid, 5-[[[2-amino-1-oxo-3-(phenylmethoxy)propyl]amino]methyl]-, 6-(aminoiminomethyl)-2-naphthalenyl ester, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

Updated Search

STNa

CM 1

CRN 103232-16-2
CMF C27 H26 N4 O5



CM 2

CRN 76-05-1
CMF C2 H F3 O2

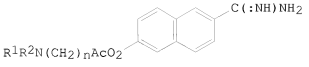
L6 ANSWER 23 OF 23 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1986:435618 HCAPLUS
DOCUMENT NUMBER: 105:35618
ORIGINAL REFERENCE NO.: 105:5753a,5756a
TITLE: Amidine compounds
INVENTOR(S): Fujii, Setsuro; Nakayama, Toyoo; Nunomura, Shigeki; Sudo, Kimio; Watanabe, Shinichi; Okutome, Toshiyuki; Sakurai, Yojiro; Kurumi, Masateru; Aoyama, Takuo
PATENT ASSIGNEE(S): Torii and Co., Ltd., Japan
SOURCE: U.S., 11 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4563527	A	19860107	US 1984-632350	19840719
FR 2568253	A1	19860131	FR 1984-11897	19840726
FR 2568253	B1	19870130		

PRIORITY APPLN. INFO.: US 1984-632350 19840719
OTHER SOURCE(S): CASREACT 105:35618; MARPAT 105:35618
GI

Updated Search

STNa

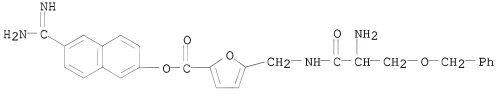


I

AB Amidine compds. I [A = furan, thiophene, or benzofuran; R1 = H or C1-4 alkyl; R2 = H, C1-4 alkyl, acyl, or (substituted) amidino; R1NR2 may form a ring; n = 0-2] are prepared which are inhibitors of trypsin, plasmin, kallikrein, thrombin, and complement and are useful for treatment of pancreatitis, circulatory disorders, inflammation, autoimmune diseases, etc. For example, 5-guanidinomethylfur-2-carboxylic acid methanesulfonate was esterified with 6-amidino-2-naphthol methanesulfonate in the presence of 4-dimethylaminpyridine. The ester produced 99% inhibition of complement-mediated hemolysis at 10-6M and 50% inhibition of kallikrein at 5 + 10-6M, and showed an LD50 of 100 mg/kg i.p. and 2000 mg/kg orally. Formulation of capsules, fine granules, and injection solns. are given.

IT 103232-16-2P 103245-97-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of and proteinase inhibition by, therapeutic use in relation to)

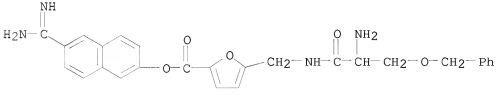
RN 103232-16-2 HCAPLUS
CN 2-Furancarboxylic acid, 5-[[[2-amino-1-oxo-3-(phenylmethoxy)propyl]amino]methyl]-, 6-(aminoiminomethyl)-2-naphthalenyl ester (CA INDEX NAME)



RN 103245-97-2 HCAPLUS
CN 2-Furancarboxylic acid, 5-[[[2-amino-1-oxo-3-(phenylmethoxy)propyl]amino]methyl]-, 6-(aminoiminomethyl)-2-naphthalenyl ester, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 103232-16-2
CMF C27 H26 N4 O5



Updated Search

STNa

CM 2

CRN 76-05-1
CMF C2 H F3 O2

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	141.12	332.50

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-18.86	-18.86

FILE 'REGISTRY' ENTERED AT 17:23:49 ON 23 FEB 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 22 FEB 2009 HIGHEST RN 1110296-20-2
DICTIONARY FILE UPDATES: 22 FEB 2009 HIGHEST RN 1110296-20-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\204rt.str

L10 STRUCTURE UPLOADED

Updated Search

STNa

```
=> s l10
SAMPLE SEARCH INITIATED 17:25:26 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     4971 TO ITERATE

 40.2% PROCESSED     2000 ITERATIONS                                0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        95192 TO   103648
PROJECTED ANSWERS:               0 TO        0

L11           0 SEA SSS SAM L10

=> s l10 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 185.40 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 17:25:31 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    99519 TO ITERATE

100.0% PROCESSED    99519 ITERATIONS                               25 ANSWERS
SEARCH TIME: 00.00.02

L12          25 SEA SSS FUL L10

=> d his

     (FILE 'HOME' ENTERED AT 17:13:06 ON 23 FEB 2009)

     FILE 'REGISTRY' ENTERED AT 17:13:53 ON 23 FEB 2009
L1              STRUCTURE UPLOADED
L2           50 S L1
L3              STRUCTURE UPLOADED
L4            0 S L3
L5           60 S L3 FULL

     FILE 'HCAPLUS' ENTERED AT 17:21:10 ON 23 FEB 2009
L6           23 S L5
L7            0 S L6 AND BECK, J?/AU
L8            0 S L6 AND DROWNS, M?/AU
L9            0 S L6 AND WARPEHOSKI, M?/AU

     FILE 'REGISTRY' ENTERED AT 17:23:49 ON 23 FEB 2009
L10             STRUCTURE UPLOADED
L11           0 S L10
L12          25 S L10 FULL

=> s l12 not l5
L13         23 L12 NOT L5

=> file hcaplus
COST IN U.S. DOLLARS                         SINCE FILE      TOTAL
                                                ENTRY    SESSION
FULL ESTIMATED COST                            186.84     519.34
```

Updated Search

STNa

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE ENTRY TOTAL SESSION
CA SUBSCRIBER PRICE 0.00 -18.86

FILE 'HCAPLUS' ENTERED AT 17:25:45 ON 23 FEB 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 23 Feb 2009 VOL 150 ISS 9
FILE LAST UPDATED: 22 Feb 2009 (20090222/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s l13
L14          8 L13

=> s l14 and beck, j?/au
          1908 BECK, J?/AU
L15          0 L14 AND BECK, J?/AU

=> s l14 and drowns, m?/au
             5 DROWNS, M?/AU
L16          0 L14 AND DROWNS, M?/AU

=> s l14 and warpehoski, m?/au
            35 WARPEHOSKI, M?/AU
L17          0 L14 AND WARPEHOSKI, M?/AU

=> d l14, ibib abs hitstr, 1-8

L14  ANSWER 1 OF 8  HCAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:         2008:1105694  HCAPLUS
DOCUMENT NUMBER:          149:355880
TITLE:                    Benzo[c][2,7]naphthyridine derivatives and their use
                          as kinase inhibitors and their preparation
```

STNa

INVENTOR(S): Wissner, Allan; Floyd, Middleton Brawner, Jr.; Dushin, Russell; Fraser, Heidi L.; Hu, Yongbo; Maderna, Andreas; Nittoli, Thomas; Wang, Yanong Daniel
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 335pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008109613	A1	20080912	WO 2008-US55815	20080304
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20080293712	A1	20081127	US 2008-42128	20080304

PRIORITY APPLN. INFO.: US 2007-905087P P 20070305
OTHER SOURCE(S): MARPAT 149:355880
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

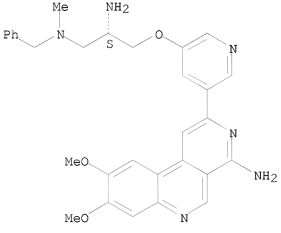
AB The invention relates to benzo[c][2,7]naphthyridine derivs. of formula I, compns. comprising an effective amount of a benzo[c][2,7]naphthyridine derivative, methods for treating or preventing a proliferative disorder or an autoimmune disease, comprising administering to a subject in need thereof an effective amount of a benzo[c][2,7]naphthyridine derivative, methods for modulating PDK-I activity, PKA activity, Akt activity, S6K activity, or PKC activity, comprising administering to a subject in need thereof an effective amount of a benzo[c][2,7]naphthyridine derivative The invention also relates to processes for preparing a benzo[c][2,7]naphthyridine derivative Compds. of formula I wherein R1 is H, halo, OH, NH2, CN, NO2, C1-6 alkyl, etc.; R2 and R5 are independently H, OH, halo, CN, N3, NH2 and derivs., C1-4 alkyl, etc.; R3 and R4 are independently H, OH, halo, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, etc.; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by amination of (2R)-2-amino-3-{[5-(4-amino-8,9-dimethoxybenzo[c][2,7]naphthyridin-2-yl)pyridin-3-yl]oxy}propyl methanesulfonate hydrochloride with N-methyl-N-(3-pyridinylmethyl)amine. All the invention compds. were evaluated for their kinase inhibitory activity. From the assay, it was determined that compound II exhibited IC50 value of 4 nM against PDK-1.
IT 1055962-86-1P 1055963-24-0P 1055965-45-1P

Updated Search

1055965-51-9P 1055965-62-2P 1055965-65-5P
1055965-67-7P 1055971-41-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzonaphthyridine derivs. as kinase inhibitors useful in treatment and prevention of proliferative and autoimmune diseases)

RN 1055962-86-1 HCAPLUS
CN 1,2-Propanediamine, 3-[[5-(4-amino-8,9-dimethoxybenzo[c][2,7]naphthyridin-2-yl)-3-pyridinyl]oxy]-N1-methyl-N1-(phenylmethyl)-, (2S)- (CA INDEX NAME)

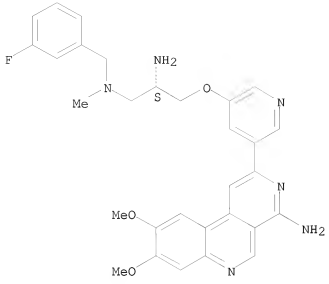
Absolute stereochemistry.



RN 1055963-24-0 HCAPLUS
CN 1,2-Propanediamine, 3-[[5-(4-amino-8,9-dimethoxybenzo[c][2,7]naphthyridin-2-yl)-3-pyridinyl]oxy]-N1-[(3-fluorophenyl)methyl]-N1-methyl-, (2S)- (CA INDEX NAME)

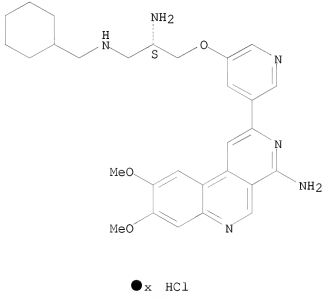
Absolute stereochemistry.

STNa



RN 1055965-45-1 HCAPLUS
CN 1,2-Propanediamine, 3-[[5-(4-amino-8,9-dimethoxybenzo[c][2,7]naphthyridin-2-yl)-3-pyridinyl]oxy]-N1-(cyclohexylmethyl)-, hydrochloride (1:?), (2S)- (CA INDEX NAME)

Absolute stereochemistry.



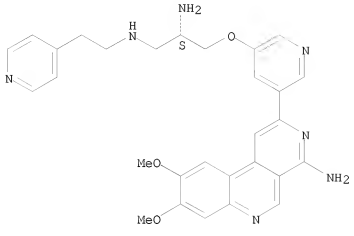
● x HCl

RN 1055965-51-9 HCAPLUS
CN 1,2-Propanediamine, 3-[[5-(4-amino-8,9-dimethoxybenzo[c][2,7]naphthyridin-2-yl)-3-pyridinyl]oxy]-N1-[2-(4-pyridinyl)ethyl]-, hydrochloride (1:?), (2S)- (CA INDEX NAME)

Updated Search

STNa

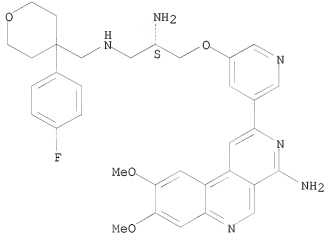
Absolute stereochemistry.



●x HCl

RN 1055965-62-2 HCAPLUS
CN 1,2-Propanediamine, 3-[[5-(4-amino-8,9-dimethoxybenzo[c][2,7]naphthyridin-2-yl)-3-pyridinyl]oxy]-N1-[[4-(4-fluorophenyl)tetrahydro-2H-pyran-4-yl]methyl]-, hydrochloride (1:?), (2S)- (CA INDEX NAME)

Absolute stereochemistry.



●x HCl

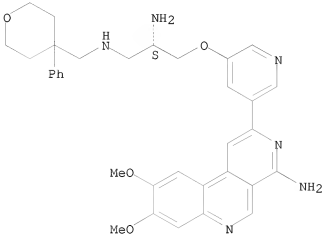
RN 1055965-65-5 HCAPLUS

Updated Search

STNa

CN 1,2-Propanediamine, 3-[[5-(4-amino-8,9-dimethoxybenzo[c][2,7]naphthyridin-2-yl)-3-pyridinyl]oxy]-N1-[(tetrahydro-4-phenyl-2H-pyran-4-yl)methyl]-, hydrochloride (1:?), (2S)- (CA INDEX NAME)

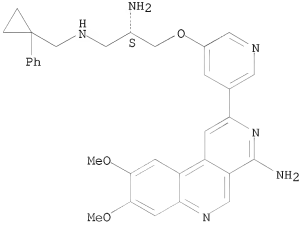
Absolute stereochemistry.



●x HCl

RN 1055965-67-7 HCAPLUS
CN 1,2-Propanediamine, 3-[[5-(4-amino-8,9-dimethoxybenzo[c][2,7]naphthyridin-2-yl)-3-pyridinyl]oxy]-N1-[(1-phenylcyclopropyl)methyl]-, hydrochloride (1:?), (2S)- (CA INDEX NAME)

Absolute stereochemistry.



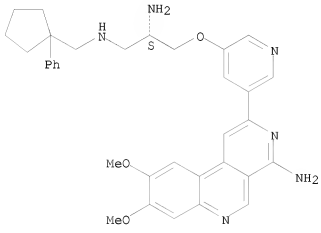
●x HCl

Updated Search

STNa

RN 1055971-41-9 HCAPLUS
CN 1,2-Propanediamine, 3-[[5-(4-amino-8,9-dimethoxybenzo[c][2,7]naphthyridin-2-yl)-3-pyridinyl]oxy]-N1-[(1-phenylcyclopentyl)methyl]-, hydrochloride (1:?), (2S)- (CA INDEX NAME)

Absolute stereochemistry.



●x HCl

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

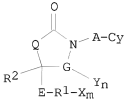
L14 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1073393 HCAPLUS
DOCUMENT NUMBER: 149:307850
TITLE: Preparation of cyclic urea and carbamate inhibitors of 11β-hydroxysteroid dehydrogenase 1
INVENTOR(S): Claremon, David A.; McGeehan, Gerard; Singh, Suresh B.; Tice, Colin M.; Ye, Yuanjie; Zhao, Wei
PATENT ASSIGNEE(S): Vitae Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 80pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008106128	A2	20080904	WO 2008-US2517	20080226

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM,

Updated Search

TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.: US 2007-903473P P 20070226
OTHER SOURCE(S): MARPAT 149:307850
GI



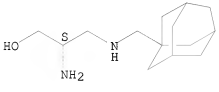
I

AB This invention relates to cyclic ureas and carbamates (shown as I; variables defined below; e.g. (S)-3-[(1-adamantyl)methyl]-5-phenyloxazolidin-2-one), pharmaceutically acceptable salts thereof, and pharmaceutical compns. thereof, which are useful for the therapeutic treatment of diseases associated with the modulation or inhibition of 11 β-HSD1 in mammals. The invention further relates to pharmaceutical compns. of the novel compds. and methods for their use in the reduction or control of the production of cortisol in a cell or the inhibition of the conversion of cortisone to cortisol in a cell. For I: Q = NR3, O or S; R1 = H, (C1-C8)alkyl, (C3-C7)cycloalkyl, heterocyclyl, (C1-C4)alkoxy(C1-C4)alkyl, (C1-C4) alkylthio(C1-C4)alkyl, (C1-C4)alkylsulfinyl(C1-C4)alkyl, and (C1-C4)alkylsulfonyl(C1-C4) alkyl, Ph, phenyl(C1-C4)alkyl, heteroaryl, and heteroaryl(C1-C4)alkyl. X = halogen, OH, CH2OH, (C1-C3) alkyl, (C1-C3)haloalkyl, et al. and when R1 = heterocyclyl or heteroaryl, X can also be oxo; m = 0-3; R2 and R3 are defined similarly to R1. E = bond, CH2, CHMe, CMe2, CH2CH2, OCH2, OCHMe, OCMe2, SCH2, SCHMe, or SCMe2, provided that O and S are attached to R1; G is a 1, 2, or 3 C alkylene chain; Y = halogen, (C1-C3)alkyl, CF3, CONH2, CH2CONH2, CO2H, CH2CO2H, (C1-C3)alkylamino(C1-C3)alkyl and di(C1-C3)alkylamino(C1-C3)alkyl; n = 0-3; A = bond, CH2, CHMe, CMe2, or CH2CH2; Cy = (un)substituted (C7-C12)bicycloalkyl or (C9-C12)tricycloalkyl in which 1-2 C atoms are optionally replaced with heteroatoms = N and O; addnl. details including provisos are given in the claims. Although the methods of preparation are not claimed, prepns. and/or characterization data for 38 examples of I are included. For example, (S)-3-[(1-adamantyl)methyl]-5-phenyloxazolidin-2-one was prepared in 5 steps (67, 59, 47, 50 and 26%, resp., yields) starting from adamantane-1-carboxylic acid and involving the following intermediates: adamantane-1-carboxamide, [(1-adamantyl)methyl]amine, (S)-N-[(1-adamantyl)methyl]-2-hydroxy-2-phenylethanamide and (S)-2-[[(1-adamantyl)methyl]amino]-1-phenylethanol. About 250 prophetic I are listed.

IT 1050521-28-2P, (S)-2-Amino-3-[[(1-adamantyl)methyl]amino]propan-1-ol hydrochloride
RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT (Reactant or reagent)
(preparation of cyclic urea and carbamate inhibitors of 11β-hydroxysteroid dehydrogenase 1)
RN 1050521-28-2 HCAPLUS
CN 1-Propanol, 2-amino-3-[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]-, hydrochloride (1:1), (2S)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

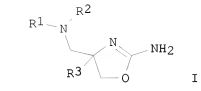
L14 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1006771 HCAPLUS
DOCUMENT NUMBER: 149:288769
TITLE: Preparation of aminooxazoline derivatives for use as TAAR1 ligands
INVENTOR(S): Galley, Guido; Groebke Zbinden, Katrin; Norcross, Roger; Stalder, Henri
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 109pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008098857	A1	20080821	WO 2008-EP51377	20080205

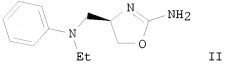
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: EP 2007-102429 A 20070215
OTHER SOURCE(S): MARPAT 149:288769
GI

STNa



I



II

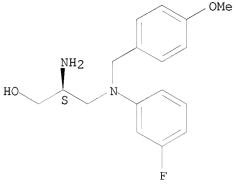
AB Title compds. I [R1 = (un)substituted aryl or heteroaryl; R2 = H, alkyl, or (un)substituted benzyl; or R1 and R2 together with the N-atom to which they are attached form 2,3-dihydroindol-1-yl or 3,4-dihydroquinolin-1-yl; R3 = H or alkyl], and their pharmaceutically acceptable salts, are prepared and disclosed as trace amine associated receptor 1 (TAAR1) ligands. Thus, e.g., II was prepared by reductive amination of tert-Bu (S)-(-)-4-formyl-2,2-dimethyloxazoline-3-carboxylate with aniline followed by reductive amination with acetaldehyde, deprotection, and cyclization with cyanogen bromide. Select I were evaluated in TAAR1 expression plasmids and stably transfected cell line assays, e.g., II demonstrated a Ki value of 0.0009 μM (mouse).

IT 1048350-97-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminooxazoline derivs. for use as TAAR1 ligands)

RN 1048350-97-5 HCAPLUS

CN 1-Propanol, 2-amino-3-[(3-fluorophenyl)[(4-methoxyphenyl)methyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



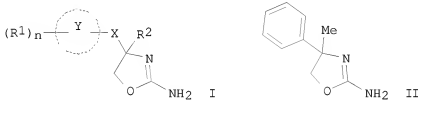
Updated Search

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:942950 HCAPLUS
DOCUMENT NUMBER: 149:224240
TITLE: Preparation of aminooxazoline derivatives for use as central nervous system agents
INVENTOR(S): Galley, Guido; Groebke Zbinden, Katrin; Norcross, Roger; Stalder, Henri
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 220pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008092785	A1	20080807	WO 2008-EP50765	20080123
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20080261920	A1	20081023	US 2008-11384	20080125

PRIORITY APPLN. INFO.: EP 2007-101681 A 20070202
OTHER SOURCE(S): MARPAT 149:224240
GI



AB Title compds. I [X = bond, OCH2, SCH2, etc.; Y = Ph, naphthyl, cycloalkyl, etc.; R1 = H, halo, alkyl, (un)substituted Ph, etc.; R2 = H, Ph, or alkyl; n = 0 to 3], and their pharmaceutically acceptable salts, are prepared and disclosed as central nervous system agents. Thus, e.g., II was prepared by reduction of 2-amino-2-phenylpropionic acid followed by cyclization with

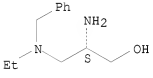
cyanogen bromide. Select I were evaluated in TAAR1 binding assays and demonstrated Ki values in the range of <0.01 μM. I were disclosed as therapeutic agents for the treatment of diseases related to the biol. function of the trace amine associated receptors (TAAR), which diseases are depression, anxiety disorders, bipolar disorder, attention deficit hyperactivity disorder, stress-related disorders, psychotic disorders, schizophrenia, neurol. diseases, Parkinson's disease, neurodegenerative disorders, Alzheimer's disease, epilepsy, migraine, substance abuse and metabolic disorders, eating disorders, diabetes, diabetic complications, obesity, dyslipidemia, disorders of energy consumption and assimilation, disorders and malfunction of body temperature homeostasis, disorders of sleep and circadian rhythm, and cardiovascular disorders.

IT 1043500-83-9P
RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminooxazoline derivs. for use as central nervous system agents)

RN 1043500-83-9 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:806263 HCAPLUS

DOCUMENT NUMBER: 147:385946

TITLE: A Concise Asymmetric Synthesis of cis-2,6-Disubstituted N-Aryl Piperazines via Pd-Catalyzed Carboamination Reactions

AUTHOR(S): Nakhla, Josephine S.; Wolfe, John P.

CORPORATE SOURCE: Department of Chemistry, University of Michigan, Ann Arbor, MI, 48109-1055, USA

SOURCE: Organic Letters (2007), 9(17), 3279-3282
CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER: American Chemical Society

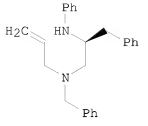
DOCUMENT TYPE: Journal

LANGUAGE: English

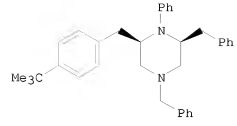
OTHER SOURCE(S): CASREACT 147:385946

GI

STNa



I



II

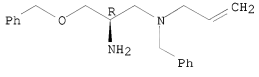
AB A concise, modular, asym. synthesis of cis-2,6-disubstituted piperazines from readily available amino acid precursors is described. The key step in the synthesis is a Pd-catalyzed carboamination of a N1-aryl-N2-allyl-1,2-diamine with an aryl bromide. The products are obtained in 14-20:1 dr, with >97% ee, and the key cyclizations are the first examples of six-membered ring formation via Pd-catalyzed carboamination reactions of unsatd. amines with aryl halides. Thus, reaction of the allyl(benzyl)propanediamine I with 4-BrC6H4CMe3 in toluene containing tris(dibenzylideneacetone)dipalladium, tri-2-furanylphosphine, and NaOCMe3 at 105° for 8-10 h gave 63% of the (tert-butylbenzyl)piperazine II with 99% enantioselective excess.

IT 950608-97-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(phenylation of a (benzyloxy)propanediamine with bromobenzonitrile in the asym. preparation of arylpiperazines via Pd/phosphine complex-catalyzed carboamination/heterocyclization of N-allyl propanediames with Ph bromides)

RN 950608-97-6 HCAPLUS

CN 1,2-Propanediamine, 3-(phenylmethoxy)-N1-(phenylmethyl)-N1-2-propen-1-yl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:324002 HCAPLUS

DOCUMENT NUMBER: 142:373552

TITLE: Benzyl ethers and benzylamines as beta-secretase inhibitors, their preparation and use for the treatment of Alzheimer's disease

INVENTOR(S): Nantermet, Philippe G.; Rajapakse, Hemaka Anthony; Selnick, Harold G.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2

Updated Search

STNa

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005032471	A2	20050414	WO 2004-US32009	20040929
WO 2005032471	A3	20050707		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004277981	A1	20050414	AU 2004-277981	20040929
CA 2540452	A1	20050414	CA 2004-2540452	20040929
EP 1673078	A2	20060628	EP 2004-789263	20040929
EP 1673078	B1	20080528		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1859904	A	20061108	CN 2004-80028599	20040929
JP 2007507515	T	20070329	JP 2006-534062	20040929
AT 396973	T	20080615	AT 2004-789263	20040929
IN 2006DN01546	A	20070810	IN 2006-DN1546	20060322
US 20060293380	A1	20061228	US 2006-573232	20060323
PRIORITY APPLN. INFO.:			US 2003-508369P P	20031003
			WO 2004-US32009 W	20040929

OTHER SOURCE(S): MARPAT 142:373552
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a group of benzyl ethers and benzylamines I which are inhibitors of the beta-secretase enzyme. In compds. I, X is O or NH; Y is CH or N; R1 is selected from aryl, arylmethyl, heterocyclyl, and heterocyclylmethyl, wherein the ring is unsubstituted or substituted with one or more substituents selected from halo, OH, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, cyano, and C1-6 alkoxy; R2 is selected from alkyl(alkylsulfonyl)amino, (alkylsulfonyl)amino, o-cyanophenyl, and, gem-cyanocycloalkyl; R3 is selected from (un)substituted (arylalkyl)aminocarbonyl, aminocarbonyl, alkylaminocarbonyl, cyclopropylethenyl, cyclopropylmethyloxy, and cyclopropylmethylamino; and includes all pharmaceutically acceptable salts. The invention also relates to the preparation of I, pharmaceutical compns. comprising these compds. and a pharmaceutically acceptable carrier, and the use of these compds. and compns. in the treatment of diseases in which the beta-secretase enzyme is involved, such as Alzheimer's disease.

Updated Search

STNa

N-Methylsulfonylation of di-Me 5-aminoisophthalate, followed by N-methylation, gave II, which was partially hydrolyzed and coupled with a chiral amine to give III. Hydrolysis of III followed by borane reduction, bromination, and substitution with 2-amino-2-benzylpropane-1,3-diol, prepared by reduction of racemic α-benzylserine, resulted in the formation of IV. The compds. of the invention inhibit the beta-secretase enzyme, generally with IC50 values from about 1 nM to 100 μM.

IT 849623-02-5P, 3-[[(2-Amino-2-benzyl-3-hydroxypropyl)amino]methyl]-N-[(1R)-1-(4-fluorophenyl)ethyl]-5-[methyl(methylsulfonyl)amino]benzamide trifluoroacetate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzyl ethers and benzylamines as beta-secretase inhibitors for the treatment of Alzheimer's disease)

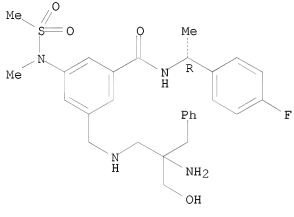
RN 849623-02-5 HCAPLUS

CN Benzamide, 3-[[[2-amino-2-(hydroxymethyl)-3-phenylpropyl]amino]methyl]-N-[(1R)-1-(4-fluorophenyl)ethyl]-5-[methyl(methylsulfonyl)amino]-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 849623-01-4
CMF C28 H35 F N4 O4 S

Absolute stereochemistry.



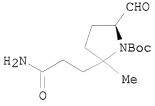
CM 2

CRN 76-05-1
CMF C2 H F3 O2

Updated Search

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:566826 HCAPLUS
DOCUMENT NUMBER: 141:260597
TITLE: Studies on the Chemical Stability and Synthetic Utility of an Oxazolidine Linker for Solid-Phase Chemistry
AUTHOR(S): Wills, A. Jonathan; Cano, Montserrat; Balasubramanian, Shankar
CORPORATE SOURCE: University Chemical Laboratory, University of Cambridge, Cambridge, CB2 1EW, UK
SOURCE: Journal of Organic Chemistry (2004), 69(16), 5439-5447
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:260597
GI



I

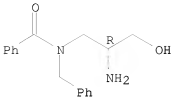
AB A chemical stability study on the oxazolidine linker system has been carried out using a dual-linker anal. construct within a parallel reaction scan. The study established the compatibility of the oxazolidine platform with a wide range of commonly employed synthetic reaction conditions including nucleophilic, oxidizing, and reducing conditions. The scan was further used to probe and optimize acidic conditions under which the oxazolidine could release the substrate from the solid support and to identify reagents that could cleave while retaining other acid-labile groups. The solid-phase synthesis of a small mol. array established the utility of oxazolidine aldehyde I as a building block for asym. chemical while exploiting the data generated by the reaction scan.

IT 754992-48-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(studies on the chemical stability and synthetic utility of an oxazolidine linker for solid-phase synthesis)

RN 754992-48-8 HCAPLUS

CN Benzamide, N-[(2R)-2-amino-3-hydroxypropyl]-N-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

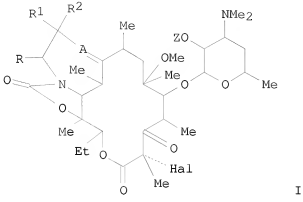
L14 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:289282 HCAPLUS
DOCUMENT NUMBER: 132:279475
TITLE: Preparation of macrolide erythromycins as antibacterial agents
INVENTOR(S): Agouridas, Constantin; Bretin, Francois; Denis, Alexis; Fromentin, Claude
PATENT ASSIGNEE(S): Hoechst Marion Roussel, Fr.
SOURCE: Fr. Demande, 28 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2784682	A1	20000421	FR 1998-12937	19981015
FR 2784682	B1	20021206		
US 6352983	B1	20020305	US 1999-416022	19991008
JP 2000128896	A	20000509	JP 1999-290869	19991013
EP 1000952	A2	20000517	EP 1999-402523	19991014
EP 1000952	A3	20040102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 20020111319	A1	20020815	US 2002-75635	20020213
US 39743	E1	20070724	US 2002-302324	20021121
US 20050065101	A1	20050324	US 2004-987402	20041112
US 7119180	B2	20061010		

PRIORITY APPLN. INFO.:
FR 1998-12937 A 19981015
US 1999-416022 A1 19991008
US 2002-75635 A1 20020213

OTHER SOURCE(S): MARPAT 132:279475
GI

STNa



I

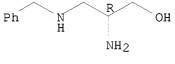
AB Macrolide erythromycins I (A = N, NO; R = H, hydroxyalkyl, aryloxyalkyl; R1 and R2 = H, alkyl; Z = H, acyl) were prepared as antibacterial agents. Thus, [3aS-(3aR*,4S*,7R*,9S*,10S*,11S*,13S*,15S*,15aS*)]-4-ethyl-7-fluoro-3a,4,10,11,12,13,15,15a-octahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-10-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-14,1-(nitriloethano)-2H-oxacyclotetradecino[4,3-d]oxazole-2,6,8(9H)-trione was prepared and tested in vitro for its antibacterial activity (MIC = 0.02-1.2 μg/cm3).

IT 263904-98-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of macrolide erythromycins as antibacterial agents)

RN 263904-98-9 HCAPLUS

CN 1-Propanol, 2-amino-3-[(phenylmethyl)amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\10527.str

L18 STRUCTURE UPLOADED

=> s l18
REGlstRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

Updated Search

STNa

```
SAMPLE SEARCH INITIATED 17:34:39 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    51084 TO ITERATE

  3.9% PROCESSED     2000 ITERATIONS                                0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:      1008186 TO  1035174
PROJECTED ANSWERS:               0 TO        0

L19           0 SEA SSS SAM L18



L20          0 L19

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     2.85     610.54

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00     -25.42

FILE 'REGISTRY' ENTERED AT 17:34:42 ON 23 FEB 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2009 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   22 FEB 2009  HIGHEST RN 1110296-20-2
DICTIONARY FILE UPDATES:  22 FEB 2009  HIGHEST RN 1110296-20-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\10527.str
```

Updated Search

STNa

```
L21     STRUCTURE UPLOADED

=> s l21
SAMPLE SEARCH INITIATED 17:35:00 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    51084 TO ITERATE

  3.9% PROCESSED     2000 ITERATIONS                                0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE   **INCOMPLETE**
                        BATCH    **COMPLETE**
PROJECTED ITERATIONS:      1008186 TO  1035174
PROJECTED ANSWERS:               0 TO        0

L22           0 SEA SSS SAM L21

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\areartatayay.str

L23     STRUCTURE UPLOADED

=> s l23
SAMPLE SEARCH INITIATED 17:36:03 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    51084 TO ITERATE

  3.9% PROCESSED     2000 ITERATIONS                                0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE   **INCOMPLETE**
                        BATCH    **COMPLETE**
PROJECTED ITERATIONS:      1008186 TO  1035174
PROJECTED ANSWERS:               0 TO        0

L24           0 SEA SSS SAM L23

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\arawrat.str

L25     STRUCTURE UPLOADED

=> s l25
SAMPLE SEARCH INITIATED 17:36:46 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    51086 TO ITERATE

  3.9% PROCESSED     2000 ITERATIONS                                0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE   **INCOMPLETE**
                        BATCH    **COMPLETE**
PROJECTED ITERATIONS:      1008225 TO  1035215
PROJECTED ANSWERS:               0 TO        0

L26           0 SEA SSS SAM L25
```

Updated Search

STNa

```
=>
Uploading C:\Documents and Settings\brobinson1\My Documents\rawrartatay.str

L27     STRUCTURE UPLOADED

=> s l27
SAMPLE SEARCH INITIATED 17:37:29 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    51086 TO ITERATE

  3.9% PROCESSED     2000 ITERATIONS                                0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:      1008225 TO  1035215
PROJECTED ANSWERS:               0 TO        0

L28           0 SEA SSS SAM L27

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\ararearatart.str

L29     STRUCTURE UPLOADED

=> s l29
SAMPLE SEARCH INITIATED 17:38:56 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    23423 TO ITERATE

  8.5% PROCESSED     2000 ITERATIONS                                0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       459298 TO   477622
PROJECTED ANSWERS:               0 TO        0

L30           0 SEA SSS SAM L29

=> s l29 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 185.40 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 17:39:00 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   466862 TO ITERATE

 99.1% PROCESSED   462584 ITERATIONS                                0 ANSWERS

100.0% PROCESSED   466862 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.17

L31           0 SEA SSS FUL L29

=>
```

Updated Search

STNa

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\sadfasfasfaf.str

L32   STRUCTURE UPLOADED

=> s l32
SAMPLE SEARCH INITIATED 17:40:38 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   50524 TO ITERATE

  4.0% PROCESSED   2000 ITERATIONS   1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS: 997059 TO 1023901
PROJECTED ANSWERS: 204 TO   806

L33   1 SEA SSS SAM L32

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\arerarear.str

L34   STRUCTURE UPLOADED

=> s l34
SAMPLE SEARCH INITIATED 17:41:49 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   152 TO ITERATE

100.0% PROCESSED   152 ITERATIONS   0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS: 2301 TO   3779
PROJECTED ANSWERS: 0 TO   0

L35   0 SEA SSS SAM L34

=> s l34 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 185.40 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 17:41:54 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   2970 TO ITERATE

100.0% PROCESSED   2970 ITERATIONS   0 ANSWERS
SEARCH TIME: 00.00.01

L36   0 SEA SSS FUL L34

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\areараtatay.str

L37   STRUCTURE UPLOADED

=> s l37

Updated Search

STNa

```
SAMPLE SEARCH INITIATED 17:42:36 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    23093 TO ITERATE

  8.7% PROCESSED     2000 ITERATIONS                                0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       452763 TO   470957
PROJECTED ANSWERS:               0 TO        0

L38           0 SEA SSS SAM L37

=> s l37 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 185.40 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 17:42:40 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   460343 TO ITERATE

 99.0% PROCESSED   455581 ITERATIONS                              46 ANSWERS

100.0% PROCESSED   460343 ITERATIONS                              46 ANSWERS
SEARCH TIME: 00.00.19

L39          46 SEA SSS FUL L37

=> d his

     (FILE 'HOME' ENTERED AT 17:13:06 ON 23 FEB 2009)

     FILE 'REGISTRY' ENTERED AT 17:13:53 ON 23 FEB 2009
L1               STRUCTURE UPLOADED
L2           50 S L1
L3               STRUCTURE UPLOADED
L4            0 S L3
L5           60 S L3 FULL

     FILE 'HCAPLUS' ENTERED AT 17:21:10 ON 23 FEB 2009
L6           23 S L5
L7            0 S L6 AND BECK, J?/AU
L8            0 S L6 AND DROWNS, M?/AU
L9            0 S L6 AND WARPEHOSKI, M?/AU

     FILE 'REGISTRY' ENTERED AT 17:23:49 ON 23 FEB 2009
L10              STRUCTURE UPLOADED
L11           0 S L10
L12          25 S L10 FULL
L13          23 S L12 NOT L5

     FILE 'HCAPLUS' ENTERED AT 17:25:45 ON 23 FEB 2009
L14           8 S L13
L15           0 S L14 AND BECK, J?/AU
L16           0 S L14 AND DROWNS, M?/AU
L17           0 S L14 AND WARPEHOSKI, M?/AU
L18              STRUCTURE UPLOADED
```

Updated Search

STNa

```
                S L18

     FILE 'REGISTRY' ENTERED AT 17:34:39 ON 23 FEB 2009
L19             0 S L18

     FILE 'HCAPLUS' ENTERED AT 17:34:40 ON 23 FEB 2009
L20             0 S L19

     FILE 'REGISTRY' ENTERED AT 17:34:42 ON 23 FEB 2009
L21               STRUCTURE UPLOADED
L22             0 S L21
L23               STRUCTURE UPLOADED
L24             0 S L23
L25               STRUCTURE UPLOADED
L26             0 S L25
L27               STRUCTURE UPLOADED
L28             0 S L27
L29               STRUCTURE UPLOADED
L30             0 S L29
L31             0 S L29 FULL
L32               STRUCTURE UPLOADED
L33             1 S L32
L34               STRUCTURE UPLOADED
L35             0 S L34
L36             0 S L34 FULL
L37               STRUCTURE UPLOADED
L38             0 S L37
L39            46 S L37 FULL

=> s l39 not l13
L40         46 L39 NOT L13

=> file hcaplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                    562.92    1173.46

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                      0.00     -25.42

FILE 'HCAPLUS' ENTERED AT 17:43:10 ON 23 FEB 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)
```


Updated Search

STNa

FILE COVERS 1907 - 23 Feb 2009 VOL 150 ISS 9
FILE LAST UPDATED: 22 Feb 2009 (20090222/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l40
L41 1 L40

=> d l41, ibib abs fhitstr, 1

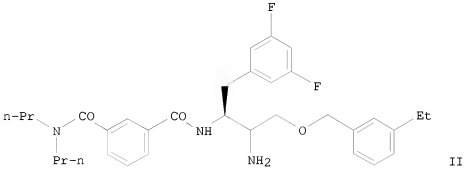
L41 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:252474 HCAPLUS
DOCUMENT NUMBER: 140:270632
TITLE: Preparation of ring-containing aminoether carboxamides as β-secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of Aβ-peptide
INVENTOR(S): Beck, James P.; Drowns, Matthew; Warpehoski, Martha A.
PATENT ASSIGNEE(S): Pharmacia & Upjohn, USA
SOURCE: PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024675	A1	20040325	WO 2003-US28388	20030910
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2498269	A1	20040325	CA 2003-2498269	20030910
AU 2003273310	A1	20040430	AU 2003-273310	20030910
EP 1537072	A1	20050608	EP 2003-755809	20030910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003014180	A	20050809	BR 2003-14180	20030910
JP 2005538177	T	20051215	JP 2004-536446	20030910
MX 2005002705	A	20050908	MX 2005-2705	20050310

STNa

US 20060194966 A1 20060831 US 2006-527294 20060222
PRIORITY APPLN. INFO.: US 2002-409565P P 20020910
WO 2003-US28388 W 20030910

OTHER SOURCE(S): MARPAT 140:270632
GI



II

AB Disclosed are RnR20NCH(R1)CH(NH2)C(R2)(R3)-X-Rc (I; variables defined below; e.g. II). Compds. disclosed herein are inhibitors of the beta-secretase enzyme (no data) and are therefore useful in the treatment of Alzheimer's disease and other diseases characterized by deposition of A beta peptide in a mammal (no data). An unspecified method of preparation is claimed, a general method is disclosed and no example prepns. are included. For I: X is O, S, NR20, or NR20NR20; R20 is H, C1-6 alkyl or alkenyl, C1-6 haloalkyl or C4-7 cycloalkyl; R1 is -(CH2)1-2-S(O)0-2-(C1-C6 alkyl), C1-C10 alkyl, etc.;. Rc is H, -(CR245R250)0-4-aryl, -(CR245R250)0-4-heteroaryl, etc.; Rn is R'100, -SO2R'100, -(CRR')1-6R'100, -C(O)(CRR')0-6R100, etc.; R2, R3 = H, (un)substituted C1-C6 alkyl or R2, R3 and the C to which they are attached form a carbocycle of 3-7 C atoms, wherein one C atom is optionally replaced by a -O-, -S-, -SO2-, or -NRN-2; addnl. details are given in the claims.

IT 674809-33-7P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-N,N-dipropylisophthalamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of ring-containing aminoether carboxamides as β-secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of Aβ-peptide)

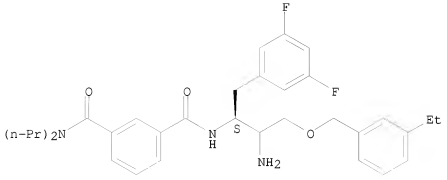
RN 674809-33-7 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

STNa



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file retg
'RETG' IS NOT A VALID FILE NAME
SESSION CONTINUES IN FILE 'HCAPLUS'
Enter "HELP FILE NAMES" at an arrow prompt (=>) for a list of files that are available. If you have requested multiple files, you can specify a corrected file name or you can enter "IGNORE" to continue accessing the remaining file names entered.

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	11.34	1184.80

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.82	-26.24

FILE 'REGISTRY' ENTERED AT 17:44:22 ON 23 FEB 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 22 FEB 2009 HIGHEST RN 1110296-20-2
DICTIONARY FILE UPDATES: 22 FEB 2009 HIGHEST RN 1110296-20-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of

Updated Search

experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\areareratat.str

L42 STRUCTURE UPLOADED

=> s l42
SAMPLE SEARCH INITIATED 17:44:49 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 50524 TO ITERATE

4.0% PROCESSED 2000 ITERATIONS 1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 997059 TO 1023901
PROJECTED ANSWERS: 204 TO 806

L43 1 SEA SSS SAM L42

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\areareaerar.str

L44 STRUCTURE UPLOADED

=> s l44
SAMPLE SEARCH INITIATED 17:45:40 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 50524 TO ITERATE

4.0% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 997059 TO 1023901
PROJECTED ANSWERS: 0 TO 0

L45 0 SEA SSS SAM L44

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\arearawrat.str

L46 STRUCTURE UPLOADED

=> s l46
SAMPLE SEARCH INITIATED 17:46:45 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 50524 TO ITERATE

4.0% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

STNa

```
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       997059 TO  1023901
PROJECTED ANSWERS:               0 TO        0

L47           0 SEA SSS SAM L46

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\areawrarara.str

L48     STRUCTURE UPLOADED

=> s l48
SAMPLE SEARCH INITIATED 17:47:34 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    50524 TO ITERATE

  4.0% PROCESSED     2000 ITERATIONS                              0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       997059 TO  1023901
PROJECTED ANSWERS:               0 TO        0

L49           0 SEA SSS SAM L48

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\nininin.str

L50     STRUCTURE UPLOADED

=> s l50
SAMPLE SEARCH INITIATED 17:53:12 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    39284 TO ITERATE

  5.1% PROCESSED     2000 ITERATIONS                              0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       773833 TO   797527
PROJECTED ANSWERS:               0 TO        0

L51           0 SEA SSS SAM L50

=> s l50 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 185.40 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 17:53:18 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   783499 TO ITERATE

 92.5% PROCESSED   725067 ITERATIONS                             43 ANSWERS
```

Updated Search

```
 99.0% PROCESSED   776018 ITERATIONS                          43 ANSWERS

100.0% PROCESSED   783499 ITERATIONS                          43 ANSWERS
SEARCH TIME: 00.00.36

L52          43 SEA SSS FUL L50

=> d his

     (FILE 'HOME' ENTERED AT 17:13:06 ON 23 FEB 2009)

     FILE 'REGISTRY' ENTERED AT 17:13:53 ON 23 FEB 2009
L1             STRUCTURE UPLOADED
L2           50 S L1
L3             STRUCTURE UPLOADED
L4            0 S L3
L5           60 S L3 FULL

     FILE 'HCAPLUS' ENTERED AT 17:21:10 ON 23 FEB 2009
L6           23 S L5
L7            0 S L6 AND BECK, J?/AU
L8            0 S L6 AND DROWNS, M?/AU
L9            0 S L6 AND WARPEHOSKI, M?/AU

     FILE 'REGISTRY' ENTERED AT 17:23:49 ON 23 FEB 2009
L10            STRUCTURE UPLOADED
L11           0 S L10
L12          25 S L10 FULL
L13          23 S L12 NOT L5

     FILE 'HCAPLUS' ENTERED AT 17:25:45 ON 23 FEB 2009
L14           8 S L13
L15           0 S L14 AND BECK, J?/AU
L16           0 S L14 AND DROWNS, M?/AU
L17           0 S L14 AND WARPEHOSKI, M?/AU
L18             STRUCTURE UPLOADED
                S L18

     FILE 'REGISTRY' ENTERED AT 17:34:39 ON 23 FEB 2009
L19           0 S L18

     FILE 'HCAPLUS' ENTERED AT 17:34:40 ON 23 FEB 2009
L20           0 S L19

     FILE 'REGISTRY' ENTERED AT 17:34:42 ON 23 FEB 2009
L21             STRUCTURE UPLOADED
L22           0 S L21
L23             STRUCTURE UPLOADED
L24           0 S L23
L25             STRUCTURE UPLOADED
L26           0 S L25
L27             STRUCTURE UPLOADED
L28           0 S L27
L29             STRUCTURE UPLOADED
L30           0 S L29
```

```
L31           0 S L29 FULL
L32             STRUCTURE UPLOADED
L33           1 S L32
L34             STRUCTURE UPLOADED
L35           0 S L34
L36           0 S L34 FULL
L37             STRUCTURE UPLOADED
L38           0 S L37
L39          46 S L37 FULL
L40          46 S L39 NOT L13

     FILE 'HCAPLUS' ENTERED AT 17:43:10 ON 23 FEB 2009
L41           1 S L40

     FILE 'REGISTRY' ENTERED AT 17:44:22 ON 23 FEB 2009
L42             STRUCTURE UPLOADED
L43           1 S L42
L44             STRUCTURE UPLOADED
L45           0 S L44
L46             STRUCTURE UPLOADED
L47           0 S L46
L48             STRUCTURE UPLOADED
L49           0 S L48
L50             STRUCTURE UPLOADED
L51           0 S L50
L52          43 S L50 FULL

=> s l52 not l40
L53         43 L52 NOT L40

=> file hcaplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   193.08    1377.88

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00     -26.24

FILE 'HCAPLUS' ENTERED AT 17:54:07 ON 23 FEB 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)
```


FILE COVERS 1907 - 23 Feb 2009 VOL 150 ISS 9

STNa

FILE LAST UPDATED: 22 Feb 2009 (20090222/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l52
L54 7 L52

=> s l54 and beck, j?/au
1908 BECK, J?/AU
L55 2 L54 AND BECK, J?/AU

=> d l55, ibib abs hitstr, 1-2

L55 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:368872 HCAPLUS
DOCUMENT NUMBER: 140:386046
TITLE: Substituted peptides useful in the treatment of Alzheimer's disease, and preparation thereof
INVENTOR(S): Beck, James T.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037179	A2	20040506	WO 2003-US33312	20031021
WO 2004037179	A3	20040708		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003286530	A1	20040513	AU 2003-286530	20031021
US 20060148803	A1	20060706	US 2005-532285	20051122
PRIORITY APPLN. INFO.:			US 2002-420062P P	20021021
			WO 2003-US33312 W	20031021

OTHER SOURCE(S): MARPAT 140:386046
AB Disclosed are methods for treating Alzheimer's disease, and other diseases, and/or inhibiting β-secretase enzyme, and/or inhibiting

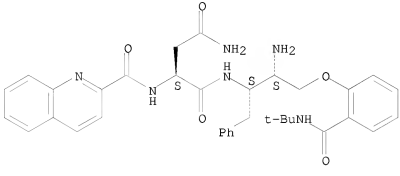
deposition of Aβ peptide in a mammal, by use of substituted peptide compds. (Markush included). Preparation of the substituted peptides is also described.

IT 162240-00-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(peptide derivs. for treatment of Alzheimer's disease, and preparation)

RN 162240-00-8 HCAPLUS

CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

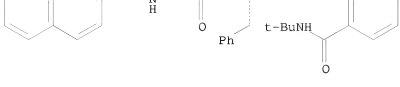


IT 162128-16-7 162128-18-9 162128-20-3
162128-22-5 162128-24-7 162128-26-9
162128-28-1 162128-31-6 162128-34-9
684212-03-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(peptide derivs. for treatment of Alzheimer's disease, and preparation)

RN 162128-16-7 HCAPLUS

CN Butanediamide, N1-[(1S,2R)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

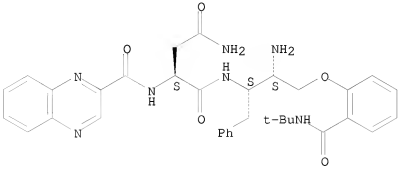
Absolute stereochemistry.



STNa

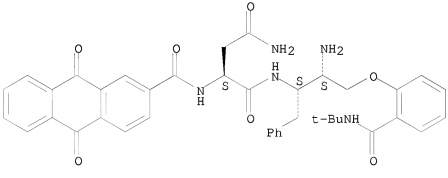
RN 162128-18-9 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinoxalinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 162128-20-3 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[[(9,10-dihydro-9,10-dioxo-2-anthracenyl)carbonyl]amino]-, (2S)- (CA INDEX NAME)

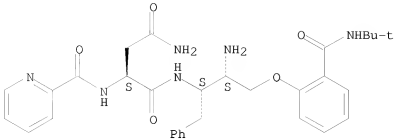
Absolute stereochemistry.



RN 162128-22-5 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-pyridinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

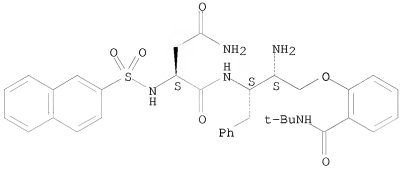
Absolute stereochemistry.

Updated Search



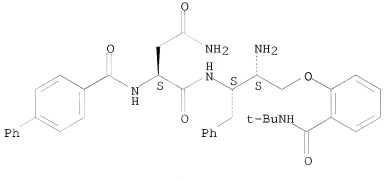
RN 162128-24-7 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-naphthalenylsulfonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 162128-26-9 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[([1,1'-biphenyl]-4-ylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

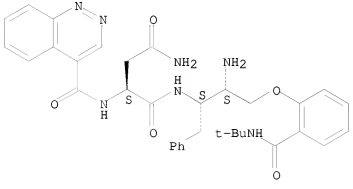
Absolute stereochemistry.



RN 162128-28-1 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-

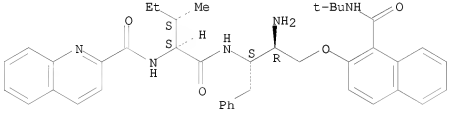
dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(4-cinnolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



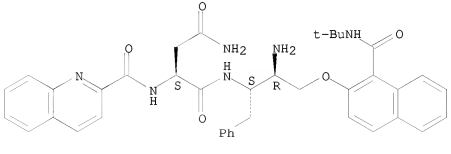
RN 162128-31-6 HCAPLUS
CN 2-Quinolinecarboxamide, N-[(1S,2S)-1-[[[(1S,2R)-2-amino-3-[[1-[[(1,1-dimethylethyl)amino]carbonyl]-2-naphthalenyl]oxy]-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylbutyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 162128-34-9 HCAPLUS
CN Butanediamide, N1-[(1S,2R)-2-amino-3-[[1-[[(1,1-dimethylethyl)amino]carbonyl]-2-naphthalenyl]oxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

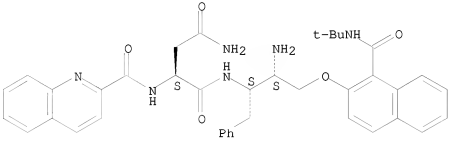
Absolute stereochemistry.



STNa

RN 684212-03-1 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[[1-[[(1,1-dimethylethyl)amino]carbonyl]-2-naphthalenyl]oxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

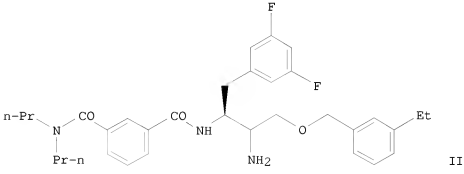
L55 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:252474 HCAPLUS
DOCUMENT NUMBER: 140:270632
TITLE: Preparation of ring-containing aminoether carboxamides as β-secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of Aβ-peptide
INVENTOR(S): Beck, James P.; Drowns, Matthew; Warpehoski, Martha A.
PATENT ASSIGNEE(S): Pharmacia & Upjohn, USA
SOURCE: PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024675	A1	20040325	WO 2003-US28388	20030910
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2498269	A1	20040325	CA 2003-2498269	20030910
AU 2003273310	A1	20040430	AU 2003-273310	20030910
EP 1537072	A1	20050608	EP 2003-755809	20030910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2003014180	A	20050809	BR 2003-14180		20030910
JP 2005538177	T	20051215	JP 2004-536446		20030910
MX 2005002705	A	20050908	MX 2005-2705		20050310
US 20060194966	A1	20060831	US 2006-527294		20060222
PRIORITY APPLN. INFO.:			US 2002-409565P	P	20020910
			WO 2003-US28388	W	20030910

OTHER SOURCE(S): MARPAT 140:270632

GI



II

AB Disclosed are RnR20NCH(R1)CH(NH2)C(R2)(R3)-X-Rc (I; variables defined below; e.g. II). Compds. disclosed herein are inhibitors of the beta-secretase enzyme (no data) and are therefore useful in the treatment of Alzheimer's disease and other diseases characterized by deposition of A beta peptide in a mammal (no data). An unspecified method of preparation is claimed, a general method is disclosed and no example prepns. are included. For I: X is O, S, NR20, or NR20NR20; R20 is H, C1-6 alkyl or alkenyl, C1-6 haloalkyl or C4-7 cycloalkyl; R1 is -(CH2)1-2-S(O)0-2-(C1-C6 alkyl), C1-C10 alkyl, etc.;. Rc is H, -(CR245R250)0-4-aryl, -(CR245R250)0-4-heteroaryl, etc.; Rn is R'100, -SO2R'100, -(CRR')1-6R'100, -C(O)(CRR')0-6R100, etc.; R2, R3 = H, (un)substituted C1-C6 alkyl or R2, R3 and the C to which they are attached form a carbocycle of 3-7 C atoms, wherein one C atom is optionally replaced by a -O-, -S-, -SO2-, or -NRN-2; addnl. details are given in the claims.

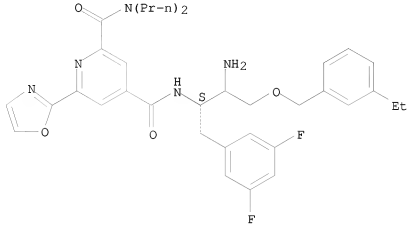
IT 674809-75-7P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-6-(1,3-oxazol-2-yl)-N,N-dipropylpyridine-2,4-dicarboxamide 674809-77-9P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-6-methyl-N,N-dipropylpyridine-2,4-dicarboxamide 674809-79-1P, N-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-6-(1,3-oxazol-2-yl)-N',N'-dipropylpyridine-2,4-dicarboxamide 674809-81-5P, N-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-6-methyl-N',N'-dipropylpyridine-2,4-dicarboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of ring-containing aminoether carboxamides as β-secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of Aβ-peptide)

RN 674809-75-7 HCAPLUS

STNa

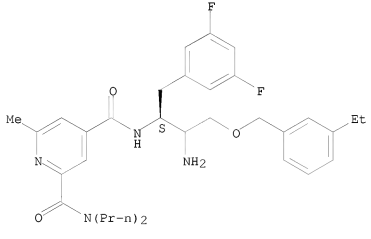
CN 2,4-Pyridinedicarboxamide, N4-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-6-(2-oxazolyl)-N2,N2-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 674809-77-9 HCAPLUS
CN 2,4-Pyridinedicarboxamide, N4-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-6-methyl-N2,N2-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.

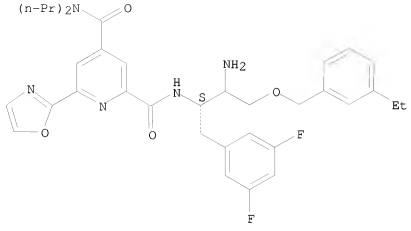


RN 674809-79-1 HCAPLUS
CN 2,4-Pyridinedicarboxamide, N2-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-6-(2-oxazolyl)-N4,N4-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.

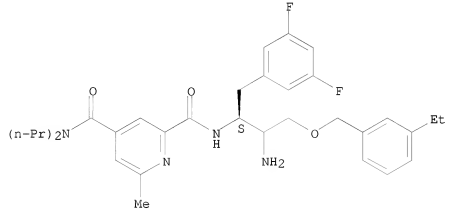
Updated Search

STNa



RN 674809-81-5 HCAPLUS
CN 2,4-Pyridinedicarboxamide, N2-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-6-methyl-N4,N4-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=>

=> d his

(FILE 'HOME' ENTERED AT 17:13:06 ON 23 FEB 2009)

FILE 'REGISTRY' ENTERED AT 17:13:53 ON 23 FEB 2009
L1 STRUCTURE UPLOADED
L2 50 S L1
L3 STRUCTURE UPLOADED

Updated Search

```
L4            0 S L3
L5           60 S L3 FULL

     FILE 'HCAPLUS' ENTERED AT 17:21:10 ON 23 FEB 2009
L6           23 S L5
L7            0 S L6 AND BECK, J?/AU
L8            0 S L6 AND DROWNS, M?/AU
L9            0 S L6 AND WARPEHOSKI, M?/AU

     FILE 'REGISTRY' ENTERED AT 17:23:49 ON 23 FEB 2009
L10             STRUCTURE UPLOADED
L11           0 S L10
L12          25 S L10 FULL
L13          23 S L12 NOT L5

     FILE 'HCAPLUS' ENTERED AT 17:25:45 ON 23 FEB 2009
L14           8 S L13
L15           0 S L14 AND BECK, J?/AU
L16           0 S L14 AND DROWNS, M?/AU
L17           0 S L14 AND WARPEHOSKI, M?/AU
L18             STRUCTURE UPLOADED
                S L18

     FILE 'REGISTRY' ENTERED AT 17:34:39 ON 23 FEB 2009
L19           0 S L18

     FILE 'HCAPLUS' ENTERED AT 17:34:40 ON 23 FEB 2009
L20           0 S L19

     FILE 'REGISTRY' ENTERED AT 17:34:42 ON 23 FEB 2009
L21             STRUCTURE UPLOADED
L22           0 S L21
L23             STRUCTURE UPLOADED
L24           0 S L23
L25             STRUCTURE UPLOADED
L26           0 S L25
L27             STRUCTURE UPLOADED
L28           0 S L27
L29             STRUCTURE UPLOADED
L30           0 S L29
L31           0 S L29 FULL
L32             STRUCTURE UPLOADED
L33           1 S L32
L34             STRUCTURE UPLOADED
L35           0 S L34
L36           0 S L34 FULL
L37             STRUCTURE UPLOADED
L38           0 S L37
L39          46 S L37 FULL
L40          46 S L39 NOT L13

     FILE 'HCAPLUS' ENTERED AT 17:43:10 ON 23 FEB 2009
L41           1 S L40

     FILE 'REGISTRY' ENTERED AT 17:44:22 ON 23 FEB 2009
L42             STRUCTURE UPLOADED
```

```
L43           1 S L42
L44             STRUCTURE UPLOADED
L45           0 S L44
L46             STRUCTURE UPLOADED
L47           0 S L46
L48             STRUCTURE UPLOADED
L49           0 S L48
L50             STRUCTURE UPLOADED
L51           0 S L50
L52          43 S L50 FULL
L53          43 S L52 NOT L40

     FILE 'HCAPLUS' ENTERED AT 17:54:07 ON 23 FEB 2009
L54           7 S L52
L55           2 S L54 AND BECK, J?/AU

=> s l54 not l55
L56           5 L54 NOT L55

=> s l56 and drowns, m?/au
              5 DROWNS, M?/AU
L57           0 L56 AND DROWNS, M?/AU

=> s l56 and warpehoski, m?/au
             35 WARPEHOSKI, M?/AU
L58           0 L56 AND WARPEHOSKI, M?/AU

=> d l56, ibib abs hitstr, 1-5

L56  ANSWER 1 OF 5  HCAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:         2007:664102  HCAPLUS
DOCUMENT NUMBER:          147:268319
TITLE:                    Discovery of Isonicotinamide Derived β-Secretase
                          Inhibitors: In Vivo Reduction of β-Amyloid
AUTHOR(S):                Stanton, Matthew G.; Stauffer, Shaun R.; Gregro,
                          Alison R.; Steinbeiser, Melissa; Nantermet, Philippe;
                          Sankaranarayanan, Sethu; Price, Eric A.; Wu, Guoxin;
                          Crouthamel, Ming-Chih; Ellis, Joan; Lai, Ming-Tain;
                          Espeseth, Amy S.; Shi, Xiao-Ping; Jin, Lixia; Colussi,
                          Dennis; Pietrak, Beth; Huang, Qian; Xu, Min; Simon,
                          Adam J.; Graham, Samuel L.; Vacca, Joseph P.; Selnick,
                          Harold
CORPORATE SOURCE:         Departments of Medicinal Chemistry, Alzheimer's
                          Research, and Drug Metabolism, Merck Research
                          Laboratories, West Point, PA, 19486, USA
SOURCE:                   Journal of Medicinal Chemistry (2007), 50(15),
                          3431-3433
                          CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER:                American Chemical Society
DOCUMENT TYPE:            Journal
LANGUAGE:                 English
OTHER SOURCE(S):          CASREACT 147:268319
AB   β-Secretase inhibition offers an exciting opportunity for therapeutic
     intervention in the progression of Alzheimer's disease.  A series of
     isonicotinamides derived from traditional aspartyl protease transition
     state isostere inhibitors has been optimized to yield low nanomolar
```

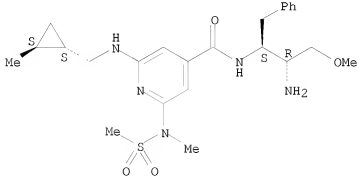
inhibitors with sufficient penetration across the blood-brain barrier to demonstrate β-amyloid lowering in a murine model.

IT 946420-59-3P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(isonicotinamide derivs. as β-secretase inhibitors and in vivo reduction of β-amyloid)

RN 946420-59-3 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.

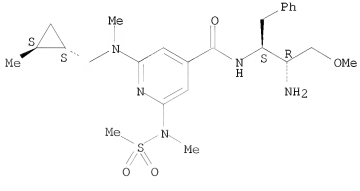


IT 860312-26-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(isonicotinamide derivs. as β-secretase inhibitors and in vivo reduction of β-amyloid)

RN 860312-26-1 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[methyl[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

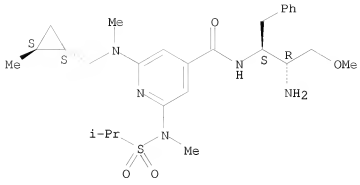
Absolute stereochemistry.



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

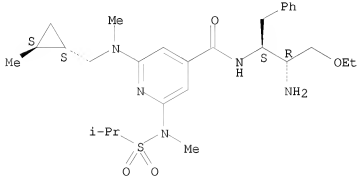
L56 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:228885 HCAPLUS
DOCUMENT NUMBER: 146:462107
TITLE: Discovery and SAR of isonicotinamide BACE-1 inhibitors that bind β-secretase in a N-terminal 10s-loop down conformation
AUTHOR(S): Stauffer, Shaun R.; Stanton, Matthew G.; Gregro, Alison R.; Steinbeiser, Melissa A.; Shaffer, Jennifer R.; Nantermet, Philippe G.; Barrow, James C.; Rittle, Kenneth E.; Collusi, Dennis; Espeseth, Amy S.; Lai, Ming-Tain; Pietrak, Beth L.; Holloway, M. Katharine; McGaughey, Georgia B.; Munshi, Sanjeev K.; Hochman, Jerome H.; Simon, Adam J.; Selnick, Harold G.; Graham, Samuel L.; Vacca, Joseph P.
CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research Laboratories, West Point, PA, 19486, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(6), 1788-1792
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 146:462107
AB A series of low-mol. weight 2,6-diamino-isonicotinamide BACE-1 inhibitors containing an amine transition-state isostere were synthesized and shown to be highly potent in both enzymic and cell-based assays. These inhibitors contain a trans-S,S-Me cyclopropane P3 which bind BACE-1 in a 10s-loop down conformation giving rise to highly potent compds. with favorable mol. weight and moderate to high susceptibility to P-glycoprotein (P-gp) efflux.
IT 860312-09-0P 860312-14-7P 860312-26-1P
935470-46-5P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, BACE-1 inhibitory and SAR of isonicotinamides using amination of dichloropyridinecarboxylate with sulfonylamides and secondary amines followed by amidation with primary amines as key steps)
RN 860312-09-0 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[methyl[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 860312-14-7 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-ethoxy-1-(phenylmethyl)propyl]-2-[methyl[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

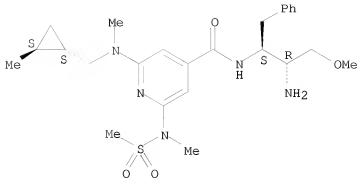
Absolute stereochemistry.



RN 860312-26-1 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[methyl[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

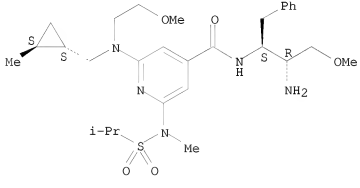
Absolute stereochemistry.

STNa



RN 935470-46-5 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[(2-methoxyethyl)[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L56 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:638626 HCAPLUS
DOCUMENT NUMBER: 143:153293
TITLE: Preparation of phenylamides and pyridylamides as β-secretase inhibitors
INVENTOR(S): Barrow, James C.; Coburn, Craig A.; Nantermet, Philippe G.; Selnick, Harold G.; Stachel, Shawn J.; Stanton, Matthew G.; Stauffer, Shaun R.; Zhuang, Linghang; Davis, Jennifer R.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

Updated Search

STNa

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005065195	A2	20050721	WO 2004-US42173	20041215
WO 2005065195	A3	20060406		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004311749	A1	20050721	AU 2004-311749	20041215
CA 2548849	A1	20050721	CA 2004-2548849	20041215
EP 1697308	A2	20060906	EP 2004-814367	20041215
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU			
CN 1898199	A	20070117	CN 2004-80038063	20041215
JP 2007517781	T	20070705	JP 2006-545405	20041215
IN 2006DN02139	A	20070629	IN 2006-DN2139	20060419
US 20070142634	A1	20070621	US 2006-582856	20060614
PRIORITY APPLN. INFO.:			US 2003-531423P P	20031219
			WO 2004-US42173 W	20041215

OTHER SOURCE(S): CASREACT 143:153293; MARPAT 143:153293
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [Y = CH or N; Q1 = OH or NH2; Q2 and Q3 independently = H or halo; Ra = H, cycloalkyl, (un)substituted alkyl; Rb = H, (un)substituted alkyl, cycloalkyl, etc.; m = 1-2; R1 = (un)substituted aryl, heteroaryl, alkyl, etc.; R2 = (R4-SO2)N(R5); R3 = R6R7CHNHCO; R8R9NCO; R10R11N, etc.; R4 = (un)substituted alkyl, cycloalkyl, heteroaryl, etc.; R5 = H, (un)substituted alkyl, aryl, etc., or R4 and R5 together form sulfurheterocycle containing optionally one more nitrogen atom; R6 = alkyl or perfluoroalkyl; R7 = (un)substituted aryl or pyridyl; R8 and R9 independently = H, (un)substituted alkyl, cycloalkyl, or R8 and R9 together with the nitrogen atom to which they are attached form (un)substituted heterocycle; R10 = (un)substituted alkyl, cycloalkyl, -(CH2)x-Ph, etc.; x = 1-4; R11 = H, (un)substituted alkyl, cycloalkyl] and their pharmaceutically acceptable salts, are prepared and disclosed as β-secretase inhibitors. Thus, e.g., II was prepared by amidation of 2-{[(2-methylcyclopropyl)methyl]amino}-6-[methyl(methylsulfonyl)amino]isonicotinic acid (preparation given) with (2S,3S)-3-azido-1-phenylheptan-2-amine (preparation given) and subsequent reduction
The activity of I was evaluated in a homogeneous end point fluorescence

Updated Search

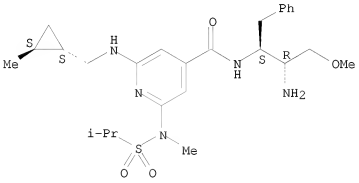
resonance energy transfer (FRET) assay and it was revealed that compds. of the invention generally had an inhibitory capability towards β-secretase enzyme with an IC50 value from about 1 nM to 100 μM. I as β-secretase inhibitors should prove useful in the treatment of Alzheimer's disease. Pharmaceutical compns. comprising I are disclosed.

IT 860312-31-8P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of phenylamides and pyridylamides as β-secretase inhibitors)

RN 860312-31-8 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

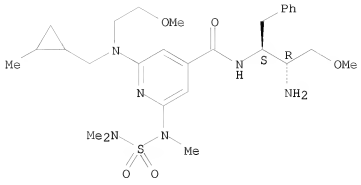


IT 860312-03-4P 860312-08-9P 860312-09-0P
860312-12-5P 860312-14-7P 860312-26-1P
860312-27-2P 860312-28-3P 860312-29-4P
860312-30-7P 860312-38-5P 860312-39-6P
860312-40-9P 860312-41-0P 860312-42-1P
860312-43-2P 860314-79-0P 860314-81-4P
860314-83-6P 860314-84-7P 860314-85-8P
860314-86-9P 860314-92-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylamides and pyridylamides as β-secretase inhibitors)

RN 860312-03-4 HCAPLUS

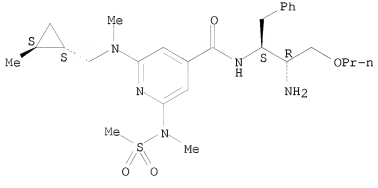
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[[(dimethylamino)sulfonyl]methylamino]-6-[(2-methoxyethyl)[(2-methylcyclopropyl)methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



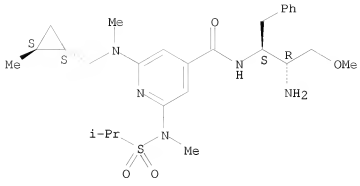
RN 860312-08-9 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-1-(phenylmethyl)-3-propoxypropyl]-2-[methyl[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



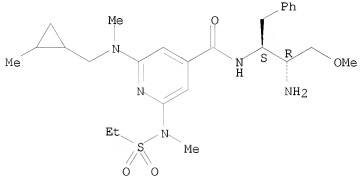
RN 860312-09-0 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[methyl[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



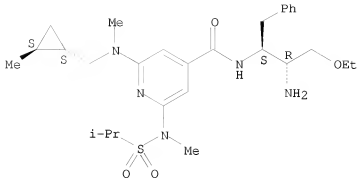
RN 860312-12-5 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[(ethylsulfonyl)methylamino]-6-[methyl[(2-methylcyclopropyl)methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



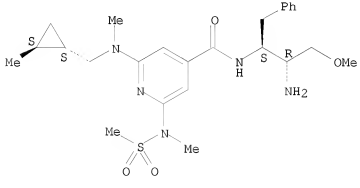
RN 860312-14-7 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-ethoxy-1-(phenylmethyl)propyl]-2-[methyl[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



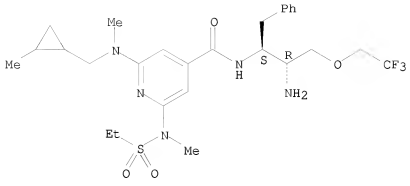
RN 860312-26-1 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[methyl[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



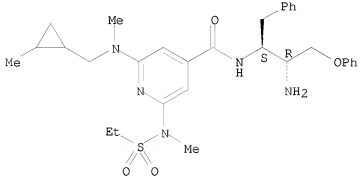
RN 860312-27-2 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-1-(phenylmethyl)-3-(2,2,2-trifluoroethoxy)propyl]-2-[(ethylsulfonyl)methylamino]-6-[methyl[(2-methylcyclopropyl)methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 860312-28-3 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-phenoxy-1-(phenylmethyl)propyl]-2-[(ethylsulfonyl)methylamino]-6-[methyl[(2-methylcyclopropyl)methyl]amino]- (CA INDEX NAME)

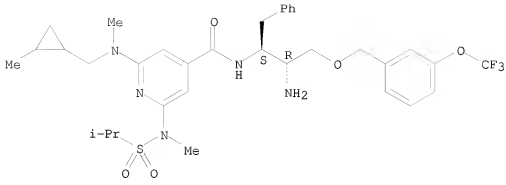
Absolute stereochemistry.



RN 860312-29-4 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-1-(phenylmethyl)-3-[[3-(trifluoromethoxy)phenyl]methoxy]propyl]-2-[methyl[(2-methylcyclopropyl)methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

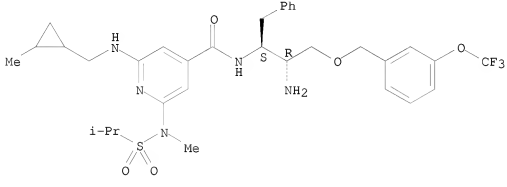
Absolute stereochemistry.

STNa



RN 860312-30-7 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-1-(phenylmethyl)-3-[[3-(trifluoromethoxy)phenyl]methoxy]propyl]-2-[[(2-methylcyclopropyl)methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

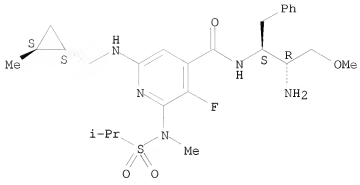


RN 860312-38-5 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-3-fluoro-6-[[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-2-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

STNa

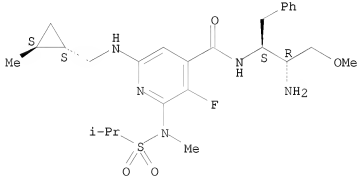


RN 860312-39-6 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-3-fluoro-6-[[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-2-[methyl[(1-methylethyl)sulfonyl]amino]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 860312-38-5
CMF C26 H38 F N5 O4 S

Absolute stereochemistry.



CM 2

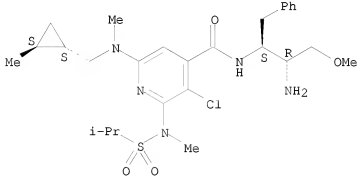
CRN 76-05-1
CMF C2 H F3 O2

Updated Search

STNa

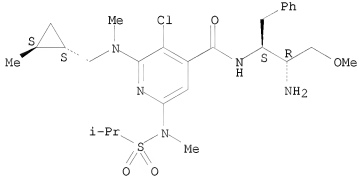
RN 860312-40-9 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-3-chloro-6-[methyl[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-2-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 860312-41-0 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-3-chloro-2-[methyl[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

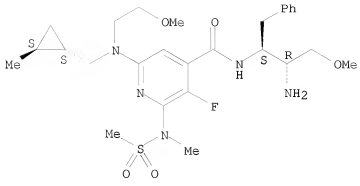


RN 860312-42-1 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-3-fluoro-6-[(2-methoxyethyl)[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-2-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.

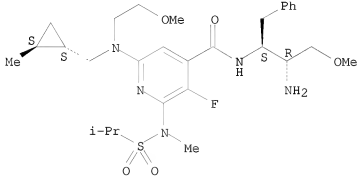
Updated Search

STNa



RN 860312-43-2 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-3-fluoro-6-[(2-methoxyethyl)[[(1S,2S)-2-methylcyclopropyl]methyl]amino]-2-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

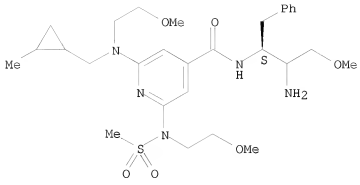
Absolute stereochemistry.



RN 860314-79-0 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[(2-methoxyethyl)[(2-methylcyclopropyl)methyl]amino]-6-[(2-methoxyethyl)(methylsulfonyl)amino]- (CA INDEX NAME)

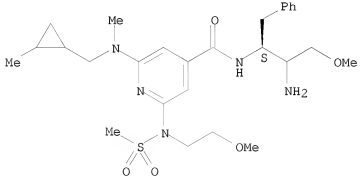
Absolute stereochemistry.

Updated Search



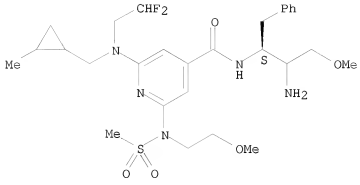
RN 860314-81-4 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[(2-methoxyethyl)(methylsulfonyl)amino]-6-[methyl[(2-methylcyclopropyl)methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



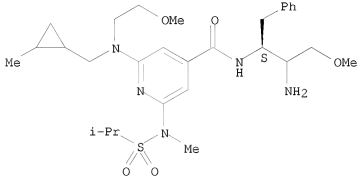
RN 860314-83-6 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[(2,2-difluoroethyl)[(2-methylcyclopropyl)methyl]amino]-6-[(2-methoxyethyl)(methylsulfonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 860314-84-7 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[(2-methoxyethyl)[(2-methylcyclopropyl)methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

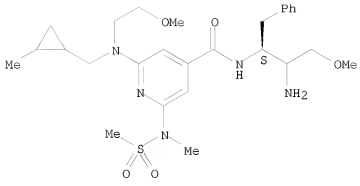
Absolute stereochemistry.



RN 860314-85-8 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[(2-methoxyethyl)[(2-methylcyclopropyl)methyl]amino]-6-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

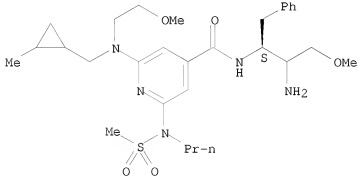
Absolute stereochemistry.

STNa



RN 860314-86-9 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[(2-methoxyethyl)[(2-methylcyclopropyl)methyl]amino]-6-[(methylsulfonyl)propylamino]- (CA INDEX NAME)

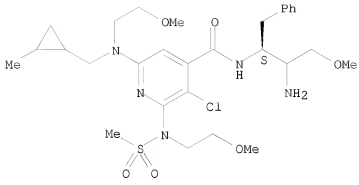
Absolute stereochemistry.



RN 860314-92-7 HCAPLUS
CN 4-Pyridinecarboxamide, N-[(1S)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-3-chloro-6-[(2-methoxyethyl)[(2-methylcyclopropyl)methyl]amino]-2-[(2-methoxyethyl)(methylsulfonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.

STNa



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

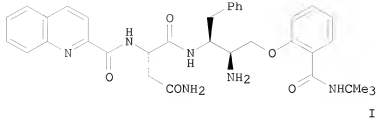
L56 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:805573 HCAPLUS
DOCUMENT NUMBER: 128:48499
ORIGINAL REFERENCE NO.: 128:9535a,9538a
TITLE: Preparation of asparagine-containing peptides as renin and HIV-1 protease inhibitors
INVENTOR(S): Bennett, Frank; Girijavallabhan, Viyyoor M.; Patel, Naginbhai M.
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: U.S., 26 pp., Cont.-in-part of U.S. Ser. No. 140,808, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5693815	A	19971202	US 1995-491854	19950714
WO 9417096	A1	19940804	WO 1994-US330	19940114
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9400319	A	19940718	ZA 1994-319	19940117
PRIORITY APPLN. INFO.:			US 1993-6086	B2 19930119
			US 1993-140808	B2 19931021
			WO 1994-US330	W 19940114

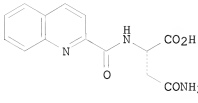
OTHER SOURCE(S): MARPAT 128:48499
GI

Updated Search

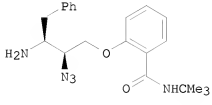
STNa



I



II



III

AB Title compds. ArWN(Z)CH(Q)CONHCH(R1)CH(U)CH2OL (Ar = naphthyl, biphenyl, quinoxalinyl, cinnolinyl, pyridinyl, anthraquinonyl, (substituted)quinolinyl, etc.; W = SO2, CO; Z = H; Q = CH2CONH2, CH(Me)Et, etc.; ZQ = (CH2)3, (CH2)4; R1 = Ph, CH2Ph, CH2CH2Ph, CH2C6H11, etc.; U = N3, NH2, NHCOCH3, etc.; L = C6H4COR2, C6H10COR2, etc.; R2 = NHC1-12alkyl, OC1-12alkyl, etc.) and their epimers or racemates thereof, or pharmaceutically acceptable salts were prepared as renin and HIV-1 protease inhibitors. The synthesis of title compound I included the stepwise coupling of Nα-protected amino acid II with amine III in presence of Et3N and coupling reagent BOP in CH2Cl2 to give the intermediate azide and reduction of the azide with H2 and Pd/C. Title compound I inhibited the growth of HIV-1 in tissue culture cell assays with an IC50 value of 1.4 μg/mL.

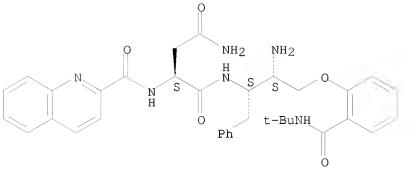
IT 162240-00-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of asparagine-containing peptides as renin and HIV protease inhibitors)

RN 162240-00-8 HCAPLUS

CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

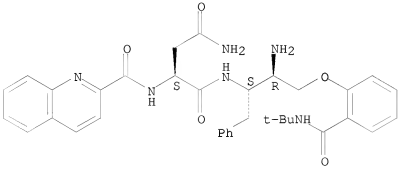
Absolute stereochemistry.

Updated Search



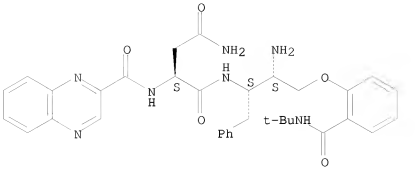
IT 162128-16-7 162128-18-9 162128-20-3
162128-22-5 162128-24-7 162128-26-9
162128-31-6 162128-34-9 199796-16-2
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of asparagine-containing peptides as renin and HIV protease inhibitors)
RN 162128-16-7 HCAPLUS
CN Butanediamide, N1-[(1S,2R)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



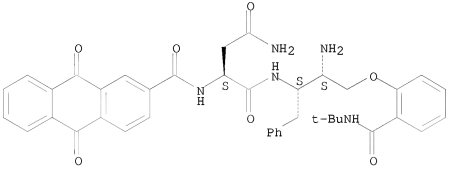
RN 162128-18-9 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinoxalinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



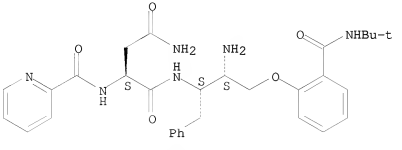
RN 162128-20-3 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[[(9,10-dihydro-9,10-dioxo-2-anthracenyl)carbonyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 162128-22-5 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-pyridinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

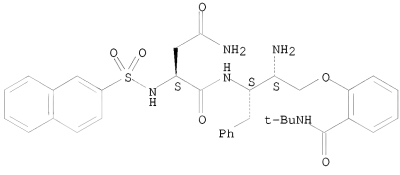
Absolute stereochemistry.



RN 162128-24-7 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-

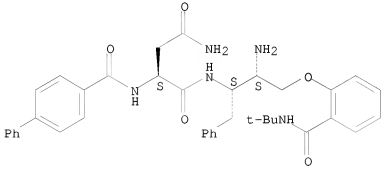
dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-naphthalenylsulfonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



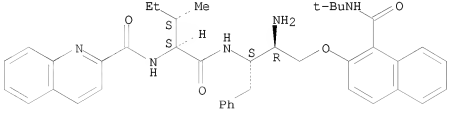
RN 162128-26-9 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[([1,1'-biphenyl]-4-ylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 162128-31-6 HCAPLUS
CN 2-Quinolinecarboxamide, N-[(1S,2S)-1-[[[(1S,2R)-2-amino-3-[[1-[[(1,1-dimethylethyl)amino]carbonyl]-2-naphthalenyl]oxy]-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylbutyl]- (CA INDEX NAME)

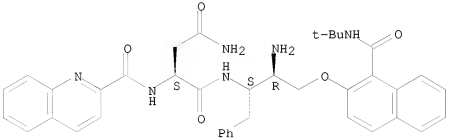
Absolute stereochemistry.



STNa

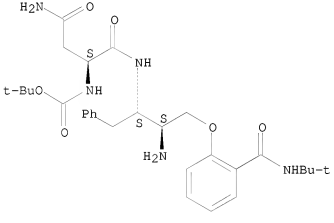
RN 162128-34-9 HCAPLUS
CN Butanediamide, N1-[(1S,2R)-2-amino-3-[[1-[[(1,1-dimethylethyl)amino]carbonyl]-2-naphthalenyl]oxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 199796-16-2 HCAPLUS
CN Carbamic acid, [3-amino-1-[[[2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]amino]carbonyl]-3-oxopropyl]-, 1,1-dimethylethyl ester, [1S-[1R*(R*),2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L56 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:478086 HCAPLUS
DOCUMENT NUMBER: 122:240451
ORIGINAL REFERENCE NO.: 122:43969a,43972a
TITLE: Preparation of peptides having anti-HIV activity.
INVENTOR(S): Bennett, Frank; Girijavallabhan, Viyyoor M.; Patel, Naginbhai M.
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: PCT Int. Appl., 74 pp.

Updated Search

STNa

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9417096	A1	19940804	WO 1994-US330	19940114
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9461617	A	19940815	AU 1994-61617	19940114
ZA 9400319	A	19940718	ZA 1994-319	19940117
US 5693815	A	19971202	US 1995-491854	19950714
PRIORITY APPLN. INFO.:			US 1993-6086	A2 19930117
			US 1993-140808	A2 19931021
			WO 1994-US330	W 19940114

OTHER SOURCE(S): MARPAT 122:240451
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; Ar = Q1-Q4, biphen-4-yl, naphthyl, etc.; R10 = H, OH; W = CO, SO2; Q = α-CH2CONH2, α-CH2CONMe2, α-CH2Ph, α-CH2NHCHO, α-CMe3, α-CH2CH2SMe, Q5, etc.; Z = H; ZQ = (CH2)3, (CH2)4; R1 = β-CH2Ph, β-CH2C6H4OH-p, β-Ph, β-CH2CH2Ph, etc.; U = α-N3, β-N3, α-NH2, β-NH2, α-NHCHO, β-NHCHO, α-SH, β-SH, etc.; L = Q6, Q7, morpholino, piperidinyl, NHCH2CH2OCH2CH2OCH2CH2OMe, etc.], and related compds., were prepared Thus, title compound (II) (prepared by solution phase methods) inhibited growth of HIV-1 in CEM-SS cells with IC50 = 1.4 μg/mL.

IT 162128-16-7P 162128-18-9P 162128-20-3P
162128-22-5P 162128-24-7P 162128-26-9P
162128-28-1P 162128-31-6P 162128-34-9P
162240-00-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides having anti-HIV activity)

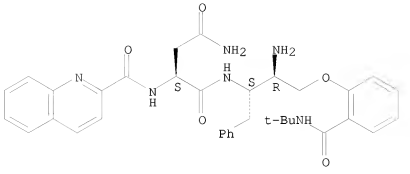
RN 162128-16-7 HCAPLUS

CN Butanediamide, N1-[(1S,2R)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

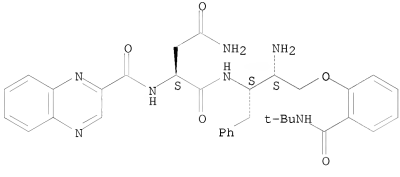
Updated Search

STNa



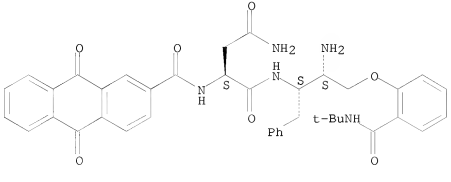
RN 162128-18-9 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinoxalinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 162128-20-3 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[[(9,10-dihydro-9,10-dioxo-2-anthracenyl)carbonyl]amino]-, (2S)- (CA INDEX NAME)

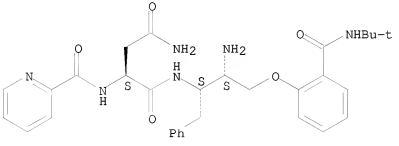
Absolute stereochemistry.



Updated Search

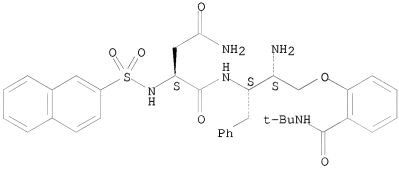
RN 162128-22-5 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-pyridinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 162128-24-7 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-naphthalenylsulfonyl)amino]-, (2S)- (CA INDEX NAME)

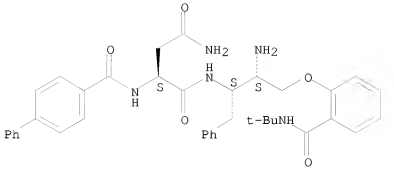
Absolute stereochemistry.



RN 162128-26-9 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[([1,1'-biphenyl]-4-ylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

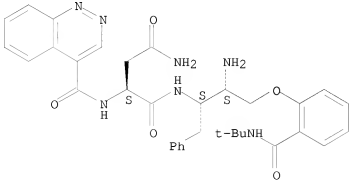
Absolute stereochemistry.

STNa



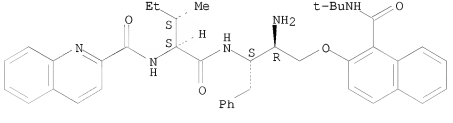
RN 162128-28-1 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(4-cinnolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 162128-31-6 HCAPLUS
CN 2-Quinolinecarboxamide, N-[(1S,2S)-1-[[[(1S,2R)-2-amino-3-[[1-[[(1,1-dimethylethyl)amino]carbonyl]-2-naphthalenyl]oxy]-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylbutyl]- (CA INDEX NAME)

Absolute stereochemistry.



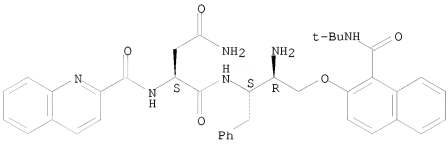
RN 162128-34-9 HCAPLUS
CN Butanediamide, N1-[(1S,2R)-2-amino-3-[[1-[[(1,1-dimethylethyl)amino]carbonyl]-2-naphthalenyl]oxy]-1-(phenylmethyl)propyl]-

Updated Search

STNa

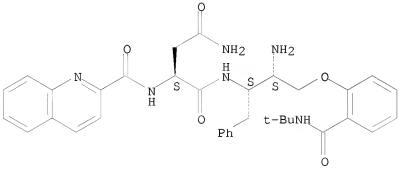
2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 162240-00-8 HCAPLUS
CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	70.83	1448.71
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-5.74	-31.98

FILE 'REGISTRY' ENTERED AT 18:00:37 ON 23 FEB 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file

Updated Search

STNa

provided by InfoChem.

STRUCTURE FILE UPDATES: 22 FEB 2009 HIGHEST RN 1110296-20-2
DICTIONARY FILE UPDATES: 22 FEB 2009 HIGHEST RN 1110296-20-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\nininin.str

L59   STRUCTURE UPLOADED

=> s 159
SAMPLE SEARCH INITIATED 18:03:16 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   39284 TO ITERATE

  5.1% PROCESSED   2000 ITERATIONS   0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS: 773833 TO   797527
PROJECTED ANSWERS: 0 TO   0

L60   0 SEA SSS SAM L59

=> s 159 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 185.40 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 18:03:21 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   783499 TO ITERATE

 91.5% PROCESSED   716783 ITERATIONS   43 ANSWERS

 99.1% PROCESSED   776189 ITERATIONS   43 ANSWERS

100.0% PROCESSED   783499 ITERATIONS   43 ANSWERS
SEARCH TIME: 00.00.36

L61   43 SEA SSS FUL L59

=> d his

Updated Search

STNa

```
     (FILE 'HOME' ENTERED AT 17:13:06 ON 23 FEB 2009)

     FILE 'REGISTRY' ENTERED AT 17:13:53 ON 23 FEB 2009
L1             STRUCTURE UPLOADED
L2          50 S L1
L3             STRUCTURE UPLOADED
L4           0 S L3
L5          60 S L3 FULL

     FILE 'HCAPLUS' ENTERED AT 17:21:10 ON 23 FEB 2009
L6          23 S L5
L7           0 S L6 AND BECK, J?/AU
L8           0 S L6 AND DROWNS, M?/AU
L9           0 S L6 AND WARPEHOSKI, M?/AU

     FILE 'REGISTRY' ENTERED AT 17:23:49 ON 23 FEB 2009
L10            STRUCTURE UPLOADED
L11          0 S L10
L12         25 S L10 FULL
L13         23 S L12 NOT L5

     FILE 'HCAPLUS' ENTERED AT 17:25:45 ON 23 FEB 2009
L14          8 S L13
L15          0 S L14 AND BECK, J?/AU
L16          0 S L14 AND DROWNS, M?/AU
L17          0 S L14 AND WARPEHOSKI, M?/AU
L18            STRUCTURE UPLOADED
               S L18

     FILE 'REGISTRY' ENTERED AT 17:34:39 ON 23 FEB 2009
L19          0 S L18

     FILE 'HCAPLUS' ENTERED AT 17:34:40 ON 23 FEB 2009
L20          0 S L19

     FILE 'REGISTRY' ENTERED AT 17:34:42 ON 23 FEB 2009
L21            STRUCTURE UPLOADED
L22          0 S L21
L23            STRUCTURE UPLOADED
L24          0 S L23
L25            STRUCTURE UPLOADED
L26          0 S L25
L27            STRUCTURE UPLOADED
L28          0 S L27
L29            STRUCTURE UPLOADED
L30          0 S L29
L31          0 S L29 FULL
L32            STRUCTURE UPLOADED
L33          1 S L32
L34            STRUCTURE UPLOADED
L35          0 S L34
L36          0 S L34 FULL
L37            STRUCTURE UPLOADED
L38          0 S L37
L39         46 S L37 FULL
L40         46 S L39 NOT L13
```

Updated Search

```
     FILE 'HCAPLUS' ENTERED AT 17:43:10 ON 23 FEB 2009
L41             1 S L40

     FILE 'REGISTRY' ENTERED AT 17:44:22 ON 23 FEB 2009
L42               STRUCTURE UPLOADED
L43             1 S L42
L44               STRUCTURE UPLOADED
L45             0 S L44
L46               STRUCTURE UPLOADED
L47             0 S L46
L48               STRUCTURE UPLOADED
L49             0 S L48
L50               STRUCTURE UPLOADED
L51             0 S L50
L52            43 S L50 FULL
L53            43 S L52 NOT L40

     FILE 'HCAPLUS' ENTERED AT 17:54:07 ON 23 FEB 2009
L54             7 S L52
L55             2 S L54 AND BECK, J?/AU
L56             5 S L54 NOT L55
L57             0 S L56 AND DROWNS, M?/AU
L58             0 S L56 AND WARPEHOSKI, M?/AU

     FILE 'REGISTRY' ENTERED AT 18:00:37 ON 23 FEB 2009
L59               STRUCTURE UPLOADED
L60             0 S L59
L61            43 S L59 FULL

=> s l61 not l53
L62            0 L61 NOT L53

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\7294tg.str

L63     STRUCTURE UPLOADED

=> s l63
SAMPLE SEARCH INITIATED 18:07:00 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    51084 TO ITERATE

  3.9% PROCESSED     2000 ITERATIONS                              0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:      1008186 TO  1035174
PROJECTED ANSWERS:               0 TO        0

L64            0 SEA SSS SAM L63
```